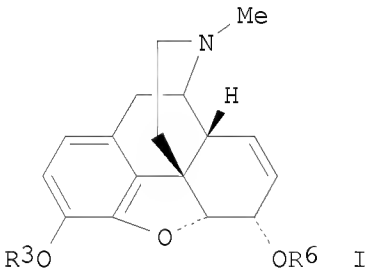
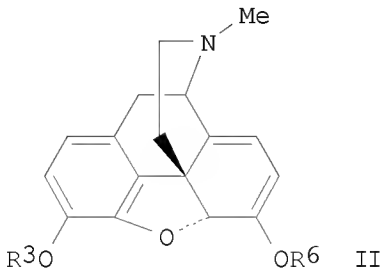
```
=> d ibib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 5.81 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2005:902900  CAPLUS
DOCUMENT NUMBER:          143:230049
TITLE:                    Methods for making 3-O-protected-morphinones and
                          3-O-protected-morphinonedienol carboxylates
INVENTOR(S):              Stumpf, Andreas
PATENT ASSIGNEE(S):       Euro-Celtique S.A., Luxembourg
SOURCE:                   PCT Int. Appl., 51 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2005077957         A2    20050825    WO 2005-US3390          20050204
     WO 2005077957         A3    20060504
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     AU 2005212258         A1    20050825    AU 2005-212258          20050204
     AU 2005212258         B2    20080522
     CA 2555215            A1    20050825    CA 2005-2555215         20050204
     EP 1711502            A2    20061018    EP 2005-712726          20050204
     EP 1711502            B1    20080827
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
             BA, HR, IS, YU
     CN 1918168            A     20070221    CN 2005-80004083        20050204
     BR 2005006607         A     20070502    BR 2005-6607            20050204
     JP 2007520563         T     20070726    JP 2006-552236          20050204
     EP 1864987            A2    20071212    EP 2007-14411           20050204
     EP 1864987            A3    20080220
     EP 1864987            B1    20091209
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
             HR, LV, MK, YU, RS
     NZ 548786             A     20080829    NZ 2005-548786          20050204
     AT 406372             T     20080915    AT 2005-712726          20050204
     NZ 565355             A     20080926    NZ 2005-565355          20050204
     PT 1711502            E     20081120    PT 2005-712726          20050204
     ES 2313299            T3    20090301    ES 2005-712726          20050204
     SG 150500             A1    20090330    SG 2009-838             20050204
     KR 2009089486         A     20090821    KR 2009-7016500         20050204
     KR 921696             B1    20091015    KR 2006-7018113         20050204
     AT 451374             T     20091215    AT 2007-14411           20050204
     PT 1864987            E     20100309    PT 2007-14411           20050204
```

ES 2338054	T3	20100503	ES 2007-14411		20050204
EP 2194059	A2	20100609	EP 2009-15220		20050204
EP 2194059	A3	20100922			
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
ZA 2006006252	A	20071128	ZA 2006-6252		20060728
IN 2006DN04449	A	20070810	IN 2006-DN4449		20060801
MX 2006008931	A	20070126	MX 2006-8931		20060807
NO 2006003989	A	20061031	NO 2006-3989		20060906
HK 1103071	A1	20090605	HK 2007-104100		20070418
HK 1119160	A1	20100604	HK 2008-106459		20070418
US 20080146804	A1	20080619	US 2007-588637		20070829
KR 2007108956	A	20071113	KR 2007-7025207		20071030
KR 2007117701	A	20071212	KR 2007-7025206		20071030
KR 921695	B1	20091015			
AU 2008201587	A1	20080501	AU 2008-201587		20080409
AU 2008201587	B2	20100930			
PRIORITY APPLN. INFO.:			US 2004-542711P	P	20040206
			AU 2005-212258	A3	20050204
			EP 2005-712726	A3	20050204
			EP 2007-14411	A3	20050204
			KR 2006-7018113	A3	20050204
			WO 2005-US3390	W	20050204
			HK 2007-104100	A3	20070418
			KR 2007-7025206	A3	20071030

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:230049; MARPAT 143:230049
GI



I



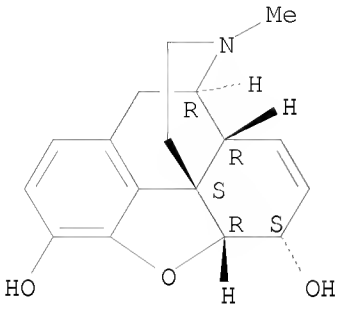
II

AB Disclosed are methods for making aldehydes and ketones comprising allowing the corresponding primary or secondary alc. to react in the presence of trichoroisocyanuric acid, a compound of formula R1SR2 (R1, R2 = alkyl, phenyl) and a base. In one embodiment, the alc. I (R3 = O-protecting group) was prepared Also disclosed were methods for making 3-O-protected morphine dienol carboxylates II (R3 = O-protecting group; R6 = acyl) comprised allowing a compound of formula I to oxidize in the presence of a chlorine-containing compound and a compound of formula R1SR2, and allowing the product of the oxidation step to react with an acylating agent.

IT 57-27-2, Morphine, reactions 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-O-protected-morphinones, 3-O-protected-morphinonedienol carboxylates and other aldehydes and ketones preparation via oxidation of sec.

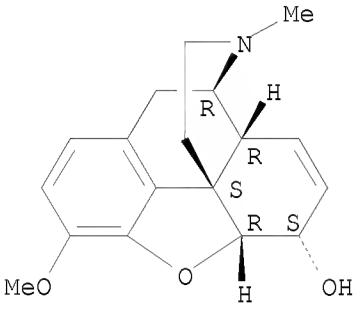
alcs. using trichoroisocyanuric acid)
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



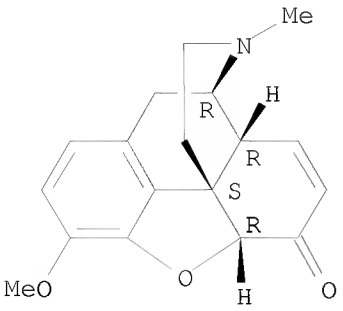
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-,
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 467-13-0P, Codeinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation of 3-O-protected-morphinones, 3-O-protected-morphinonedienol
carboxylates and other aldehydes and ketones preparation via oxidation of
sec.
alcs. using trichoroisocyanuric acid)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)-
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d re 1-2

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
RE CITED REFERENCES
(1) Anon; US 6013796 A CAPLUS
(2) Anon; US 6177567 B1 CAPLUS

=> d his

(FILE 'HOME' ENTERED AT 15:08:55 ON 15 NOV 2010)

FILE 'REGISTRY' ENTERED AT 15:09:13 ON 15 NOV 2010
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 29 S L1
L4 435 S L1 FULL
L5 50 S L2
L6 1962 S L2 FULL

FILE 'CAPLUS' ENTERED AT 15:11:11 ON 15 NOV 2010
L7 287 S L4/PREP
L8 924 S L6/RCT
L9 57 S L7 AND L8
L10 176615 S SULPHUR OR CHLORINE
L11 1 S L9 AND L10

=> d ibib abs hitstr l9 1-57
THE ESTIMATED COST FOR THIS REQUEST IS 331.17 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L9 ANSWER 1 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:1069936 CAPLUS
DOCUMENT NUMBER: 153:334249
TITLE: Process for the preparation of (+)-morphinan N-oxides
INVENTOR(S): Cantrell, Gary L.; Wang, Peter X.; Trawick, Bobby N.; Grote, Christopher W.; Berberich, David W.; Sun, Hang; Liao, Subo
PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: PCT Int. Appl., 45pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

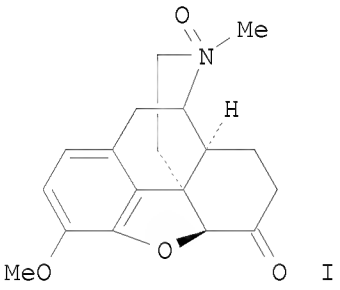
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010096790	A1	20100826	WO 2010-US24963	20100223

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 20100216997	A1	20100826	US 2010-710383	20100223

PRIORITY APPLN. INFO.: US 2009-154451P P 20090223
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 153:334249
GI



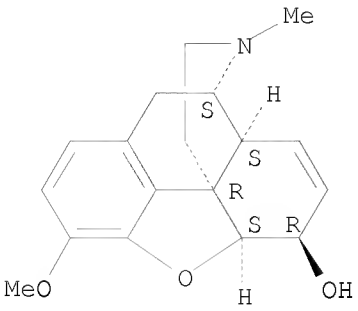
I

AB A process was disclosed for the preparation of (+)-morphinan N-oxides, RR1N(O)R2- [RR1NR2 = therapeutically useful (9α,13α,14α)-morphinan moiety, such as from (+)-naltrexone, (+)-hydrocodone, etc.], or pharmaceutically acceptable salts thereof. Thus, (+)-hydrocodone N-oxide (I) was prepared with 74% yield by N-oxidation of (+)-hydrocodone using H2O2 in MeOH.

IT 64520-25-8, (+)-Codeine 65165-99-3, (+)-Morphine
RL: RCT (Reactant); RACT (Reactant or reagent)
(claimed compound; process for preparation of (+)-morphinan N-oxides)

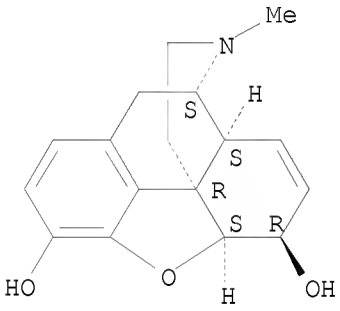
RN 64520-25-8 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5β,6β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry.



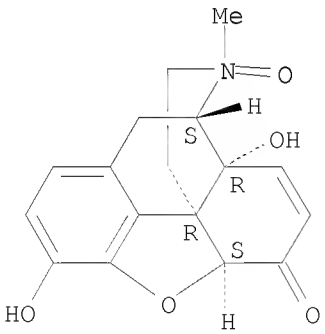
RN 65165-99-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5β,6β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1240379-95-6P, (+)-Oxymorphinone N-oxide
RL: SPN (Synthetic preparation); PREP (Preparation)
(claimed compound; process for preparation of (+)-morphinan N-oxides)
RN 1240379-95-6 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3,14-dihydroxy-17-methyl-, 17-oxide, (5β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry.

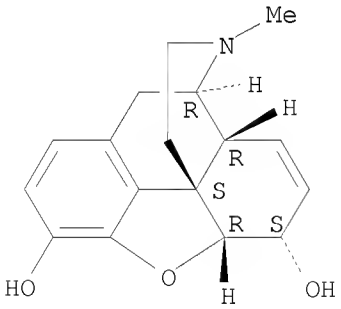


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

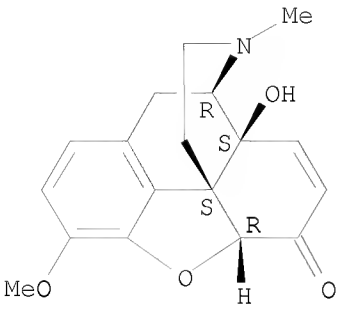
ACCESSION NUMBER: 2010:900759 CAPLUS
DOCUMENT NUMBER: 153:406666
TITLE: N-Demethylation of N-methyl alkaloids with ferrocene
AUTHOR(S): Kok, Gaik B.; Scammells, Peter J.
CORPORATE SOURCE: Medicinal Chemistry and Drug Action, Monash Institute of Pharmaceutical Sciences, Monash University, Parkville, Vic, 3052, Australia
SOURCE: Bioorganic & Medicinal Chemistry Letters (2010), 20(15), 4499-4502
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 153:406666
AB Under Polonovski-type conditions, ferrocene has been found to be a convenient and efficient catalyst for the N-demethylation of a number of N-Me alkaloids such as opiates and tropanes. By judicious choice of solvent, good yields have been obtained for dextromethorphan, codeine Me ether, and thebaine. The current methodol. is also successful for the N-demethylation of morphine, oripavine, and tropane alkaloids, producing the corresponding N-nor compds. in reasonable yields. Key pharmaceutical intermediates such oxycodone and oxymorphone are also readily N-demethylated using this approach.
IT 57-27-2P, Morphine, preparation 508-54-3P
41135-98-2P, Oxymorphinone 1234788-64-7P
1234788-71-6P 1234788-73-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Polonovski-type N-demethylation of N-Me alkaloids with ferrocene catalyst)
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



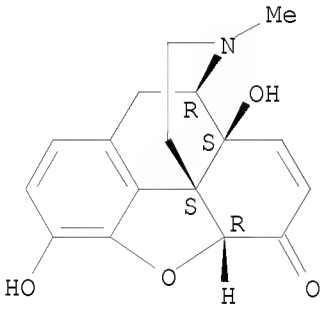
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



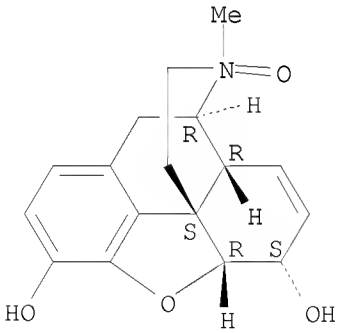
RN 41135-98-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3,14-dihydroxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1234788-64-7 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 17-oxide, hydrochloride (1:1) (CA INDEX NAME)

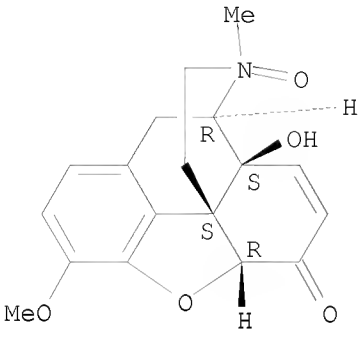
Absolute stereochemistry.



● HCl

RN 1234788-71-6 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, 17-oxide, hydrochloride (1:1), (5α)- (CA INDEX NAME)

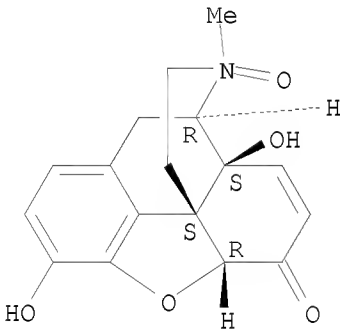
Absolute stereochemistry.



● HCl

RN 1234788-73-8 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3,14-dihydroxy-17-methyl-, 17-oxide, hydrochloride (1:1), (5α)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:774558 CAPLUS
DOCUMENT NUMBER: 153:204531
TITLE: Two-Step Iron(0)-Mediated N-Demethylation of N-Methyl Alkaloids
AUTHOR(S): Kok, Gaik B.; Pye, Cory C.; Singer, Robert D.; Scammells, Peter J.
CORPORATE SOURCE: Medicinal Chemistry and Drug Action, Monash Institute of Pharmaceutical Sciences, Monash University, Parkville, Victoria, 3052, Australia
SOURCE: Journal of Organic Chemistry (2010), 75(14), 4806-4811
CODEN: JOCEAH; ISSN: 0022-3263

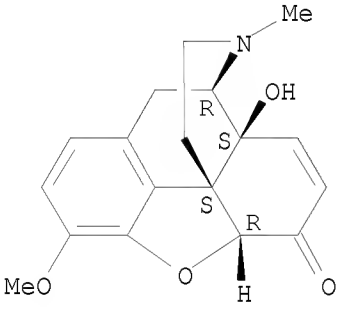
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 153:204531

AB A mild and simple two-step Fe(0)-mediated N-demethylation of a number of tertiary N-Me alkaloids is described. The tertiary N-methylamine is first oxidized to the corresponding N-oxide, which is isolated as the hydrochloride salt. Subsequent treatment of the N-oxide hydrochloride with iron powder readily provides the N-demethylated amine. Representative substrates include a number of opiate and tropane alkaloids. Key intermediates in the synthesis of semisynthetic 14-hydroxy pharmaceutical opiates such as oxycodone and oxymorphone are also readily N-demethylated using this method.

IT 508-54-3P 41135-98-2P, Oxymorphinone
RL: BYP (Byproduct); PREP (Preparation)
(N-demethylation of N-Me N-oxide alkaloids using iron powder)

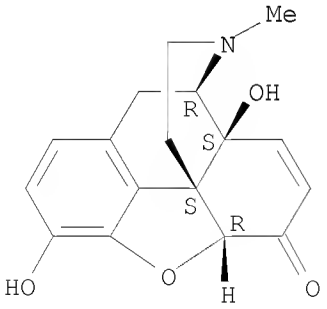
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 41135-98-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3,14-dihydroxy-17-methyl-, (5α)- (CA INDEX NAME)

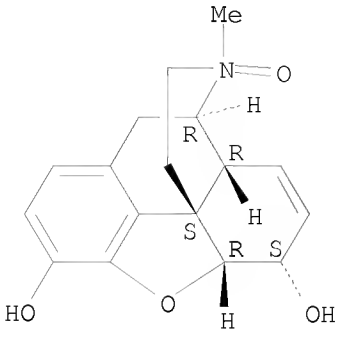
Absolute stereochemistry.



IT 1234788-64-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-demethylation of N-Me N-oxide alkaloids using iron powder)

RN 1234788-64-7 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 17-oxide, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



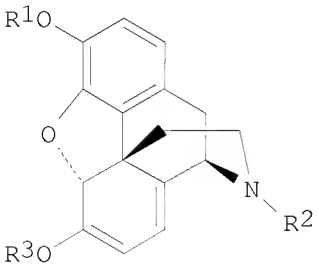
● HCl

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

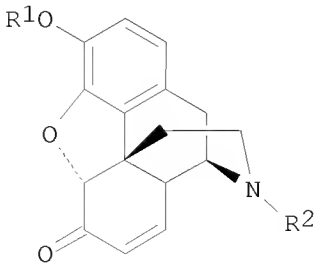
L9 ANSWER 4 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:621779 CAPLUS
DOCUMENT NUMBER: 152:568341
TITLE: Preparation of thebaines and crystals of their methanesulfonic acid salts
INVENTOR(S): Takeda, Narihiro; Takita, Takashi
PATENT ASSIGNEE(S): Daiichi Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2010111656	A	20100520	JP 2009-230355	20091002
PRIORITY APPLN. INFO.:			JP 2008-259411 A	20081006

OTHER SOURCE(S): CASREACT 152:568341; MARPAT 152:568341
GI



I



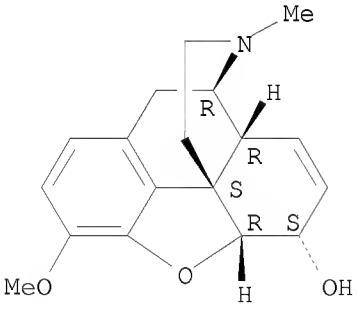
II

AB Thebaines I (R1, R2 = C1-6 alkyl, C1-6 alkylcarbonyl, C7-11 aralkyl; R3 = C1-6 alkyl), useful as intermediates for drugs, e.g. oxycodone,

oxymethebanol, etc., are prepared by (i) heating codeinones II (R1, R2 = same as above) in the presence of 1 acetalization agent selected from tri-Me orthoformate, tri-Et orthoformate, dimethoxypropane, and diethoxypropane and MeSO3H in alcs., (ii) adding solvents to the acetalization reaction mixture and concentrating the mixture, and (iii) heating the products in the presence of 1 anhydride selected from Ac2O, propionic anhydride, benzoic anhydride, and (CF3CO)2O in solvents. Also claimed is a method for preparation of crystals of thebaine methanesulfonate by performing the following steps after the above step (iii): (a) vacuum concentration, (b) addition of C1-6 alkyl esters to the residue, and (c) precipitation of the crystals. Thebaine methanesulfonate having specific powder x-ray diffraction peaks is also claimed. Thus, a mixture of codeinone, MeOH, and HC(OMe)3 was treated with MeSO3H at 40-50° for 6 h, toluene was added, and the mixture was vacuum concentrated The residue was treated with MeCN, MeSO3H, and Ac2O at 70-75° for 2 h, vacuum-concentrated, EtOAc was added, and vacuum-concentrated again. The residue was treated with EtOAc at 35-45° for 30 min, cooled to 0-5°, filtered, and the crystals were washed with EtOAc and vacuum-dried at 40° to give 88% thebaine methanesulfonate (III) as crystals. III was dissolved in H2O/MeOH, adjusted to pH 7.5-8.5 with NH3 water, and stirred at room temperature for 1.5 h to give 99% thebaine.

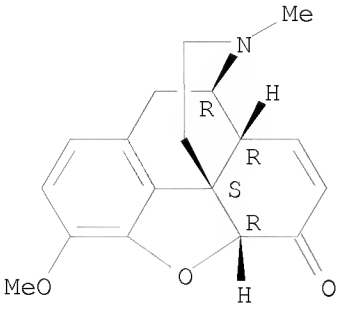
IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(codeinone from; preparation of thebaines by acetalization of codeinones in presence of MeSO3H and dealcoholization by carboxylic anhydrides and crystals of thebaine methanesulfonate)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

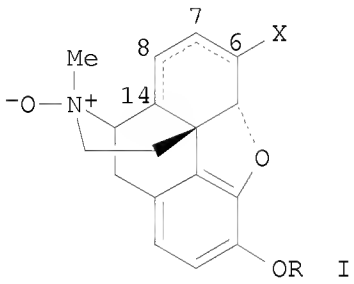


IT 467-13-0P, Codeinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thebaines by acetalization of codeinones in presence of MeSO3H and dealcoholization by carboxylic anhydrides and crystals of thebaine methanesulfonate)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

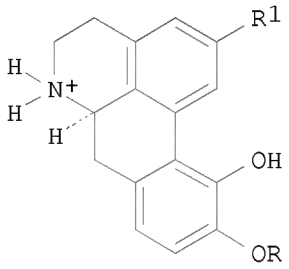
Absolute stereochemistry.



L9 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:105637 CAPLUS
DOCUMENT NUMBER: 152:358192
TITLE: One-pot N-dealkylation and acid-catalyzed rearrangement of morphinans into aporphines
AUTHOR(S): Berenyi, Sandor; Gyulai, Zsuzsanna; Udvardy, Antal; Sipos, Attila
CORPORATE SOURCE: Department of Organic Chemistry, University of Debrecen, Debrecen, H-4010, Hung.
SOURCE: Tetrahedron Letters (2010), 51(8), 1196-1198
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 152:358192
GI



I



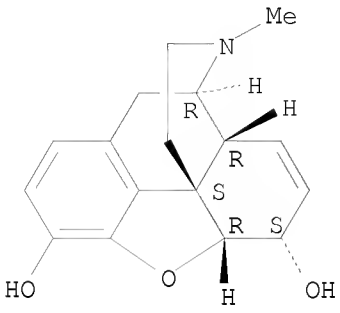
II

AB The one-pot N-demethylation and acid-catalyzed rearrangement of morphinan-N-oxides I (Δ7,8, R= H, Me, X = α-OH; Δ7,8, R = Me, X = :O; Δ6,7Δ8,14, R = H, Me, X = OMe) offers a new, shorter and more efficient route to neuropharmacol. important N-substituted aporphines II (R1 = H, OMe, OEt, OPr). An improved procedure is described for the preparation of the starting alkaloid N-oxides using Na2WO4 as catalyst. The transetherification during the rearrangement of codeinone into 2-O-alkyl-norapocodeines is documented.

IT 57-27-2, Morphine, reactions 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and sodium tungstate-catalyzed N-dealkylation/rearrangement of morphinan oxides to aporphines)

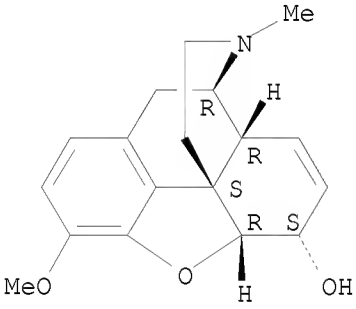
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



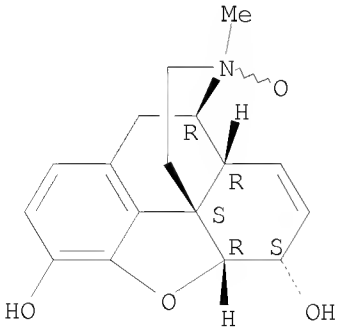
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



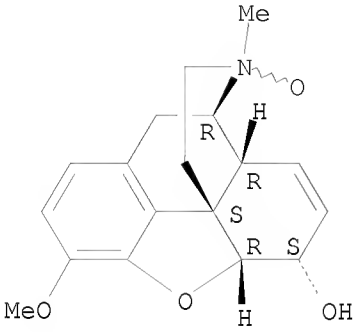
IT 639-46-3P 3688-65-1P 1216940-65-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sodium tungstate-catalyzed N-dealkylation/rearrangement of morphinan oxides to aporphines)
RN 639-46-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 17-oxide (CA INDEX NAME)

Absolute stereochemistry.



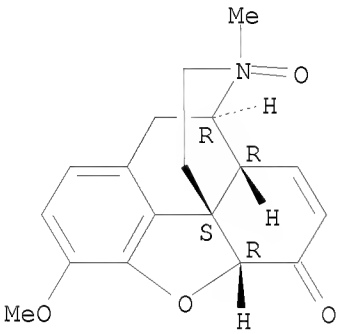
RN 3688-65-1 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, 17-oxide, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1216940-65-6 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, 17-oxide, (5α)- (CA INDEX NAME)

Absolute stereochemistry.

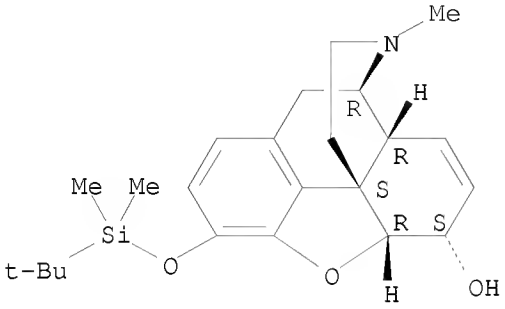


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:993286 CAPLUS
DOCUMENT NUMBER: 149:287697
TITLE: Tetra-n-propylammonium Perruthenate
AUTHOR(S): Ley, Steven V.; Norman, Joanne
CORPORATE SOURCE: UK
SOURCE: e-EROS Encyclopedia of Reagents for Organic Synthesis (2001), No pp. given. John Wiley & Sons, Ltd.: Chichester, UK.
CODEN: 69KUHI
URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/104554785/HOME
DOCUMENT TYPE: Conference; General Review; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:287697

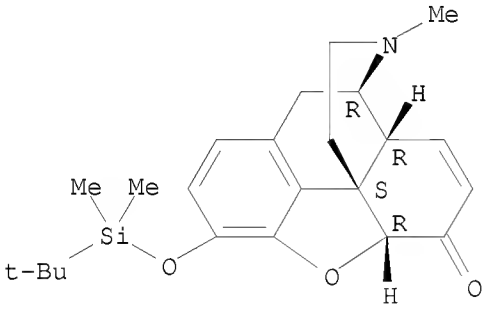
AB A review of the article Tetra-n-propylammonium Perruthenate.
IT 91265-70-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(Tetra-n-propylammonium Perruthenate)
RN 91265-70-2 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 91265-75-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Tetra-n-propylammonium Perruthenate)
RN 91265-75-7 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,5-epoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 7 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:201036 CAPLUS
DOCUMENT NUMBER: 146:274514
TITLE: Prodrugs of pharmacologically active agents
INVENTOR(S): Jenkins, Thomas E.
PATENT ASSIGNEE(S): Pharmacofore, Inc., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2007022535	A2	20070222	WO 2006-US32734 20060821
WO 2007022535	A3	20070503	

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20070123468	A1	20070531	US 2006-508042 20060821
EP 1928881	A2	20080611	EP 2006-802059 20060821

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.:		US 2005-711438P	P 20050819
		US 2005-711862P	P 20050825
		US 2006-760762P	P 20060120
		US 2006-799532P	P 20060510
		WO 2006-US32734	W 20060821

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:274514; MARPAT 146:274514
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed herein are prodrugs, XCR2R3YXR1 [R1 = (COR12U)nR14; R2, R3 = H, (un)substituted alkyl, alkoxy, aryl, arylalkyl, heteroaryl, (heteroaryl)alkyl; R4, R5, R6, R7 = H, (un)substituted alkyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl; R4R5 = (un)substituted cycloheteroalkyl; R8 = H , (un)substituted alkyl, aryl, arylalkyl, heteroaryl, (heteroaryl)alkyl, (CHR9COW)oR11; W = NR10, O, S; U = NR13, O, S; R9 = H, (un)substituted alkyl, aryl, arylalkyl, heteroalkyl, heteroaryl, (heteroaryl)alkyl; R10 = H, (un)substituted alkyl, aryl, arylalkyl, heteroaryl, (heteroaryl)alkyl; R9R10 = (un)substituted cycloheteroalkyl; R11 = H, (un)substituted alkyl, aryl arylalkyl; R10R11 = (un)substituted cycloheteroalkyl; R12 = H , (un)substituted alkyl, aryl, arylalkyl, heteroaryl, (heteroaryl)alkyl; R13 = H , (un)substituted alkyl, aryl, arylalkyl, heteroaryl, (heteroaryl)alkyl; R12R13 = (un)substituted cycloheteroalkyl; R14 = H, (un)substituted alkyl, acyl, alkoxycarbonyl, aryl, arylalkyl; R13R14 = (un)substituted cycloheteroalkyl; X = active agent, e.g., an opioid; Y = (un)substituted aryl, heteroaryl, arylaryl (optionally substituted with R16); Z = NR8, O, S; n = 1 - 5; o = 0 - 5; with the proviso that Z = ortho or para to XCR2R3 and that both R1 & R8 ≠ H], their salts, solvates and hydrates, of active agents which contain at least one amine, phenol, carboxylic acid, or thiol functionality. In particular, morphinan alkaloid prodrugs I [A = (R16)k, R = H, Me; R' = H, OH; R8 = H, Me; R12 = amino acid side chain; R14 = H, acyl, CO2CMe3; R16 = F, Cl, Br, I, R4, O-, OR4, SR4, S-, NR4R5, CF3, CN, OCN, SCN, NO, NO2, N3, SO2-O-, SO2-OH, SO2R4, O-SO2-O-, O-SO2R4, P(:O)(O-)2, P(:O)(O-)(OR4), P(:O)(OR4)(OR5), C(:O)R4, C(:S)R4, CO2R4, CONR4R5, CO2-, C(:S)OR4, NR6C(:O)NR4R5, NR6C(:S)NR4R5, NR7C(:N6)NR4R5, C(:N6)NR4R5; k = 0 - 4], II and III [R'' = H, OH] and amphetamine prodrugs

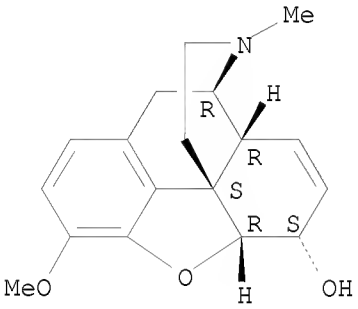
IV are disclosed. Also disclosed herein are methods of making prodrugs of active agents, pharmaceutical compns. of prodrugs of active agents and methods of using prodrugs of active agents and pharmaceutical compns. Thus, hydromorphone prodrug I [R = R' = R16 = H, R8 = Me, R12 = β-NH2, U = (CH2)3, R14 = NHC(:NH)NH2; o = 1] was prepared from hydromorphone via quaternization with (S)-N-[(α,ω,ω)-Tris(Boc)]-2-amino-N-methyl-N-[4-(chloromethyl)phenyl]-5-guanidinopentanamide in MeCN containing LiBr, followed by deprotection with CF3CO2H in CH2Cl2.

IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation of; prodrugs of pharmacol. active agents)

RN 76-57-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

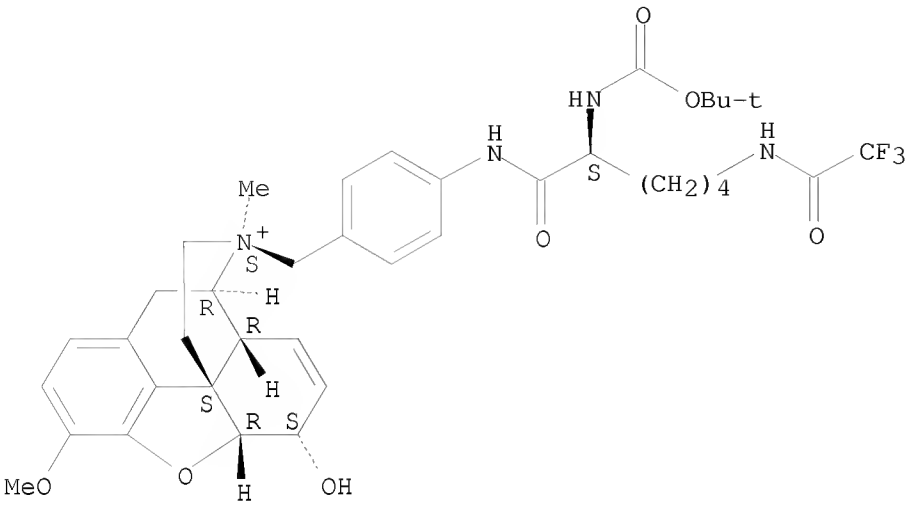


IT 1151641-70-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prodrugs of pharmacol. active agents)

RN 1151641-70-1 CAPLUS

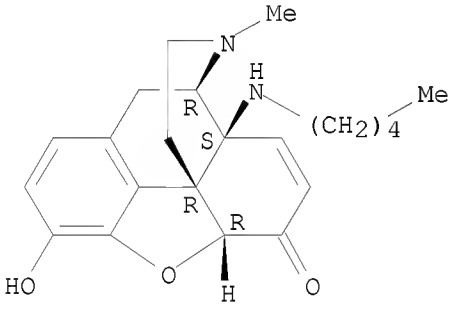
CN Morphinanium, 7,8-didehydro-17-[[4-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-6-[(2,2,2-trifluoroacetyl)amino]hexyl]amino]phenyl]methyl]-4,5-epoxy-6-hydroxy-3-methoxy-17-methyl-, (5α,6α,17S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 68616-83-1DP, Penomorphone, prodrugs
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prodrugs of pharmacol. active agents)
RN 68616-83-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-14-(pentylamino)-, (5α)- (CA INDEX NAME)

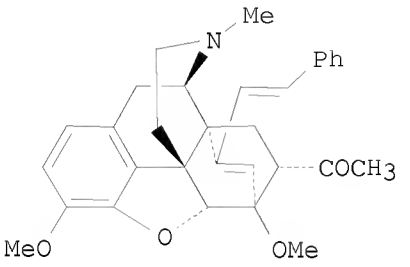
Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L9 ANSWER 8 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:547083 CAPLUS
DOCUMENT NUMBER: 145:211205
TITLE: Palladium-catalyzed 2-phenylethenylation of codeine: 8-[(1E)-2-phenylethenyl]codeinone dimethyl ketal as the unexpected 'masked' diene for the preparation of 19-substituted Diels-Alder adducts of thebaine
AUTHOR(S): Kalinin, Valery N.; Shishkov, Igor V.; Moiseev, Sergey K.; Shults, Elvira E.; Tolstikov, Genrikh A.; Sosnina, Natalia I.; Petrovskii, Pavel V.; Lyssenko, Konstantin A.; Schmidhammer, Helmut
CORPORATE SOURCE: A.N. Nesmeyanov Institute of Organoelement Compounds, Russian Academy of Sciences, Moscow, 119991, Russia

SOURCE: Helvetica Chimica Acta (2006), 89(5), 861-869
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:211205
GI



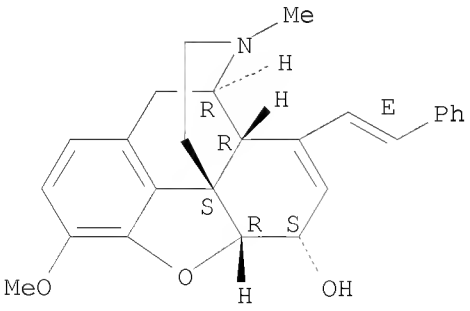
III

AB In a search for starting materials for the preparation of 7,8-fused morphine alkaloid derivs., 8-[(1E)-2-phenylethenyl]codeinone di-Me ketal (I) and 8-[(1E)-2-phenylethenyl]codeine (II) were prepared These dienes were used as substrates in the Diels-Alder reactions. Compound II formed the 'normal' adduct with N-phenylmaleimide, while compound I behaved in reactions with dienophiles as a 'masked' diene, a 8-[(1E)-2-phenylethenyl]-substituted thebaine, yielding the corresponding 19-substituted 6,14-endo-etheno-6,7,8,14-tetrahydrothebaines. Specifically, reaction of I with Me vinyl ketone gave rise to 19-[(1E)-phenylethenyl]thevinone (III) whose structure was elucidated by an X-ray diffraction anal. The thebaine derivative was also prepared from I.

IT 903893-75-4P 903893-80-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Diels-Alder reactions of phenylethenyl codeine derivs.)

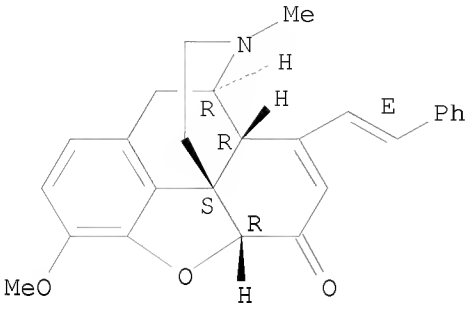
RN 903893-75-4 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-8-[(1E)-2-phenylethenyl]-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



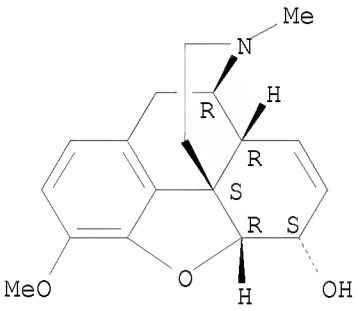
RN 903893-80-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-8-[(1E)-2-phenylethenyl]-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylethenyl codeine derivs. via palladium-catalyzed phenylethenylation)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

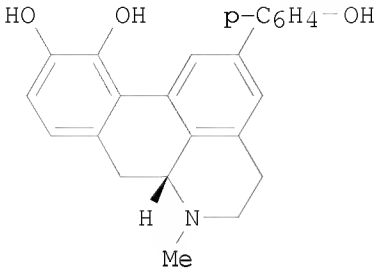
Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1168362 CAPLUS
DOCUMENT NUMBER: 144:88427
TITLE: Synthesis and binding studies of 2-arylapomorphines
AUTHOR(S): Sondergaard, Kare; Kristensen, Jesper Langgaard; Palner, Mikael; Gillings, Nic; Knudsen, Gitte Moos; Roth, Bryan L.; Begtrup, Mikael
CORPORATE SOURCE: Department of Medicinal Chemistry, The Danish University of Pharmaceutical Sciences, Copenhagen, DK-2100, Den.
SOURCE: Organic & Biomolecular Chemistry (2005), 3(22), 4077-4081
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:88427
GI

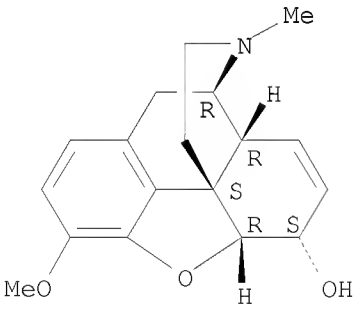


I

AB From codeine, four different 2-aryl substituted apomorphines were synthesized in 6 steps each. Oxidation of codeine with IBX followed by acid catalyzed rearrangement gave morphothebaine, which was selectively triflylated at the 2-position and subsequently O-acetylated at the 11-position. The resulting triflate was coupled in a Suzuki-Miyaura type reaction with a series of 4-substituted arylboronic esters which, after deprotection, gave the desired 2-aryl apomorphines. The analogs were tested for affinity towards a range of dopaminergic, serotonergic and adrenergic receptors. 2-(4-Hydroxyphenyl)-apomorphine (I) exhibited high affinity for the dopamine D2 receptor. A putative ligand-receptor interaction was put forward.

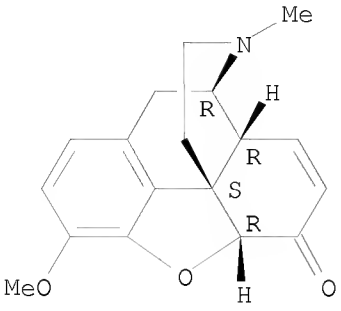
IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and dopaminergic, serotonergic and adrenergic binding activity of 2-arylapomorphines)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 467-13-0P, Codeinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and dopaminergic, serotonergic and adrenergic binding activity of 2-arylapomorphines)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:902900 CAPLUS
DOCUMENT NUMBER: 143:230049
TITLE: Methods for making 3-O-protected-morphinones and 3-O-protected-morphinonedienol carboxylates
INVENTOR(S): Stumpf, Andreas
PATENT ASSIGNEE(S): Euro-Celtique S.A., Luxembourg
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

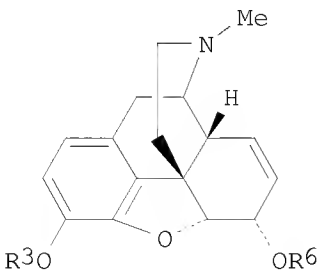
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077957	A2	20050825	WO 2005-US3390	20050204
WO 2005077957	A3	20060504		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005212258	A1	20050825	AU 2005-212258	20050204
AU 2005212258	B2	20080522		
CA 2555215	A1	20050825	CA 2005-2555215	20050204
EP 1711502	A2	20061018	EP 2005-712726	20050204
EP 1711502	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1918168	A	20070221	CN 2005-80004083	20050204
BR 2005006607	A	20070502	BR 2005-6607	20050204
JP 2007520563	T	20070726	JP 2006-552236	20050204

EP 1864987	A2	20071212	EP 2007-14411		20050204
EP 1864987	A3	20080220			
EP 1864987	B1	20091209			
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU, RS					
NZ 548786	A	20080829	NZ 2005-548786		20050204
AT 406372	T	20080915	AT 2005-712726		20050204
NZ 565355	A	20080926	NZ 2005-565355		20050204
PT 1711502	E	20081120	PT 2005-712726		20050204
ES 2313299	T3	20090301	ES 2005-712726		20050204
SG 150500	A1	20090330	SG 2009-838		20050204
KR 2009089486	A	20090821	KR 2009-7016500		20050204
KR 921696	B1	20091015	KR 2006-7018113		20050204
AT 451374	T	20091215	AT 2007-14411		20050204
PT 1864987	E	20100309	PT 2007-14411		20050204
ES 2338054	T3	20100503	ES 2007-14411		20050204
EP 2194059	A2	20100609	EP 2009-15220		20050204
EP 2194059	A3	20100922			
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
ZA 2006006252	A	20071128	ZA 2006-6252		20060728
IN 2006DN04449	A	20070810	IN 2006-DN4449		20060801
MX 2006008931	A	20070126	MX 2006-8931		20060807
NO 2006003989	A	20061031	NO 2006-3989		20060906
HK 1103071	A1	20090605	HK 2007-104100		20070418
HK 1119160	A1	20100604	HK 2008-106459		20070418
US 20080146804	A1	20080619	US 2007-588637		20070829
KR 2007108956	A	20071113	KR 2007-7025207		20071030
KR 2007117701	A	20071212	KR 2007-7025206		20071030
KR 921695	B1	20091015			
AU 2008201587	A1	20080501	AU 2008-201587		20080409
AU 2008201587	B2	20100930			
PRIORITY APPLN. INFO.:			US 2004-542711P	P	20040206
			AU 2005-212258	A3	20050204
			EP 2005-712726	A3	20050204
			EP 2007-14411	A3	20050204
			KR 2006-7018113	A3	20050204
			WO 2005-US3390	W	20050204
			HK 2007-104100	A3	20070418
			KR 2007-7025206	A3	20071030

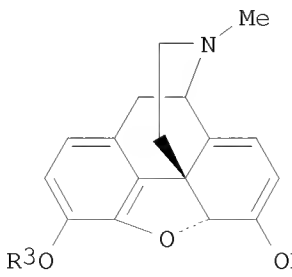
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:230049; MARPAT 143:230049

GI



I



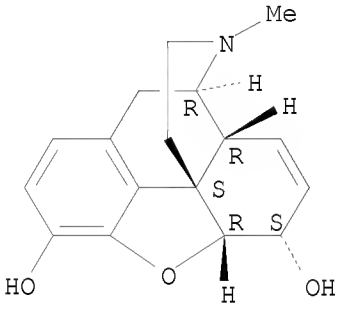
II

AB Disclosed are methods for making aldehydes and ketones comprising allowing the corresponding primary or secondary alc. to react in the presence of trichoroisocyanuric acid, a compound of formula R1SR2 (R1, R2 = alkyl, phenyl) and a base. In one embodiment, the alc. I (R3 = O-protecting group) was prepared Also disclosed were methods for making 3-O-protected morphine dienol carboxylates II (R3 = O-protecting group; R6 = acyl) comprised allowing a compound of formula I to oxidize in the presence of a chlorine-containing compound and a compound of formula R1SR2, and allowing the product of the oxidation step to react with an acylating agent.

IT 57-27-2, Morphine, reactions 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-O-protected-morphinones, 3-O-protected-morphinonedienol carboxylates and other aldehydes and ketones preparation via oxidation of sec.
alcs. using trichoroisocyanuric acid)

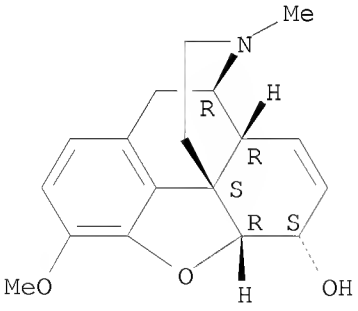
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-,
(5α,6α)- (CA INDEX NAME)

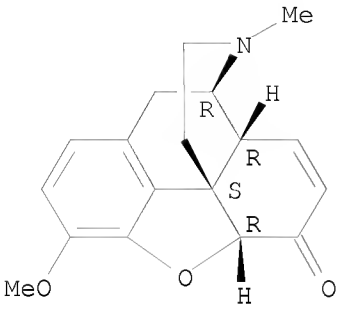
Absolute stereochemistry.



IT 467-13-0P, Codeinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-O-protected-morphinones, 3-O-protected-morphinonedienol carboxylates and other aldehydes and ketones preparation via oxidation of sec.
alcs. using trichoroisocyanuric acid)

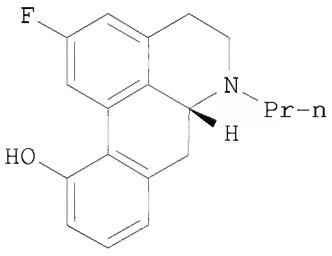
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)-
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:536096 CAPLUS
DOCUMENT NUMBER: 143:212046
TITLE: Synthesis of 2-Fluoro-11-hydroxy-N-propylnoraporphine: A Potential Dopamine D2 Agonist
AUTHOR(S): Zhang, Ao; Csutoras, Csaba; Zong, Rushi; Neumeyer, John L.
CORPORATE SOURCE: Medicinal Chemistry Laboratory, Alcohol and Drug Abuse Research Center, Harvard Medical School, McLean Hospital, Belmont, MA, 02478, USA
SOURCE: Organic Letters (2005), 7(15), 3239-3242
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:212046
GI



I

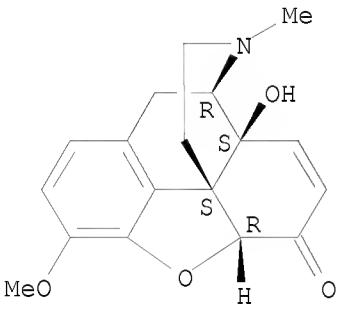
AB 2-Fluoro-11-hydroxy-N-propylnoraporphine, I (2-F-11-OH-NPa), was synthesized from thebaine in 13 steps with an overall yield of 1.35%. The key steps included the Pd-catalyzed 3-dehydroxylation of 14-hydroxymorphine, SN2 substitution of Ts- by F-, and CH3SO2OH-promoted rearrangement of the substituted morphinandiene. The dopamine binding affinity of this compound was also investigated on rat brain membranes, and as expected, this compound displayed high affinity and selectivity at the D2

receptor.

IT 508-54-3P 3371-56-0P 41135-98-2P
862190-31-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2-fluoro-11-hydroxy-N-propylnoraporphine as a potential dopamine D2 agonist)

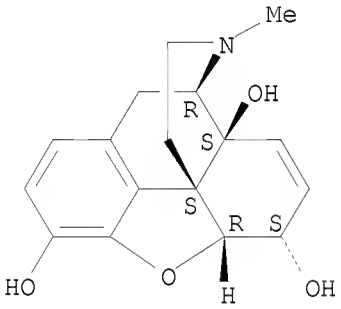
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



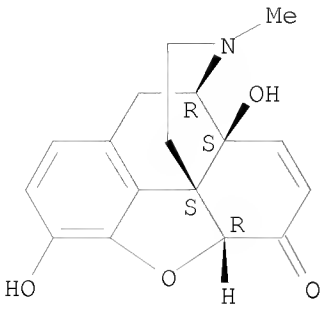
RN 3371-56-0 CAPLUS
CN Morphinan-3,6,14-triol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



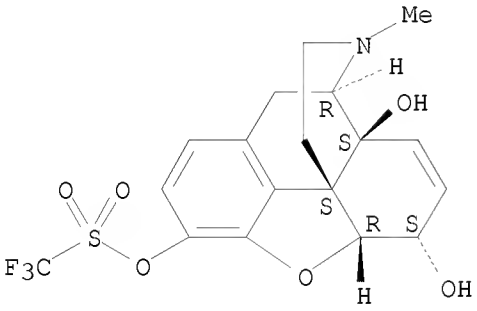
RN 41135-98-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3,14-dihydroxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 862190-31-6 CAPLUS
CN Morphinan-3,6,14-triol, 7,8-didehydro-4,5-epoxy-17-methyl-, 3-(trifluoromethanesulfonate), (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

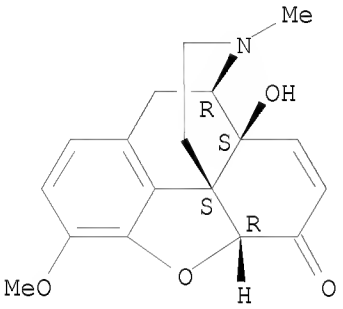
L9 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:140867 CAPLUS
DOCUMENT NUMBER: 142:219456
TITLE: Process for manufacturing opioid analgesics
INVENTOR(S): Francis, Charles Auxilium; Lin, Zhaiwei; Kaldahl, Christopher Arne; Antczak, Kazimierz Grzegorz; Kumar, Vijai
PATENT ASSIGNEE(S): Acura Pharmaceuticals, USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 455,202.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050038251	A1	20050217	US 2004-892578	20040716
US 7071336	B2	20060704		
US 6864370	B1	20050308	US 2003-455202	20030605

PRIORITY APPLN. INFO.: US 2003-455202 A2 20030605

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:219456
AB Oxycodone is manufactured in high yields and with a high purity using a composition
including a thebaine component into 14-hydroxycodeinone and then reduction of 14-hydroxycodeinone to oxycodone.
IT 508-54-3P, 14-Hydroxycodeinone
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for manufacturing opioid analgesics such as oxycodone via oxidation and
hydrogenation)
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

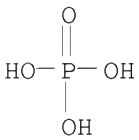
Absolute stereochemistry.



IT 52-28-8, Codeine phosphate
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for manufacturing opioid analgesics such as oxycodone via oxidation and
hydrogenation)
RN 52-28-8 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)-, phosphate (1:1) (CA INDEX NAME)

CM 1

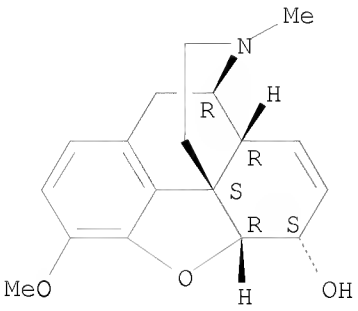
CRN 7664-38-2
CMF H3 O4 P



CM 2

CRN 76-57-3
CMF C18 H21 N O3

Absolute stereochemistry.

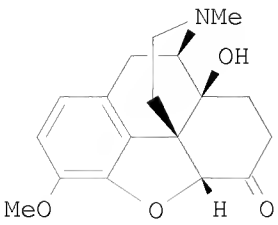


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

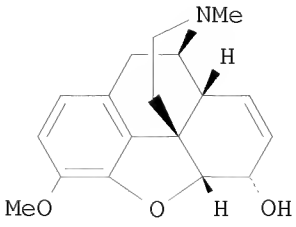
L9 ANSWER 13 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:1080779 CAPLUS
DOCUMENT NUMBER: 142:38409
TITLE: Process for manufacturing oxycodone from codeine
INVENTOR(S): Lin, Zhaiwei; Francis, Charles Auxilium; Kaldahl, Christopher Arne; Antczak, Kazimierz Grzegorz; Kumar, Vijai
PATENT ASSIGNEE(S): Halsey Drug Company, USA
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108090	A2	20041216	WO 2004-US17891	20040604
WO 2004108090	A3	20050324		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6864370	B1	20050308	US 2003-455202	20030605

PRIORITY APPLN. INFO.: US 2003-455202 A 20030605
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:38409
GI



I

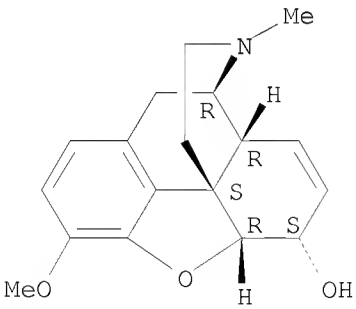


II

AB Oxycodone (I) is manufactured in high yields and with a high purity using codeine (II) or a salt of codeine as the starting material. The manufacturing process involves the following steps: (a) codeine or a codeine salt (e.g., codeine phosphate) is converted into the intermediate N-carboalkoxy- or N-carboaryloxynorcodeine; (b) the intermediate N-carboalkoxy- or N-carboaryloxynorcodeine resulting from step (a) is oxidized to yield the intermediate N-carboalkoxy- or N-carboaryloxynorcodeinone; (c) the intermediate N-carboalkoxy- or N-carboaryloxynorcodeinone resulting from step (b) is enolized with a base and the resultant enolate is thereafter methylated to yield the intermediate N-carboalkoxy- or N-carboaryloxynorthebaine; (d) the intermediate N-carboalkoxy- or N-carboaryloxynorthebaine resulting from step (c) is reduced to yield thebaine; (e) the thebaine resulting from step (d) is oxidized to yield the intermediate 14-hydroxycodeinone; and (f) the intermediate 14-hydroxycodeinone resulting from step (e) is hydrogenated to yield oxycodone.

IT 76-57-3D, Codeine, salts
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkoxy-/-aryloxycarbonylation of; process for manufacturing oxycodone from codeine)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

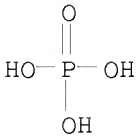


IT 52-28-8, Codeine phosphate 76-57-3, Codeine
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(N-alkoxycarboxylation of; process for manufacturing oxycodone from codeine)
RN 52-28-8 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)-, phosphate (1:1) (CA INDEX NAME)

CM 1

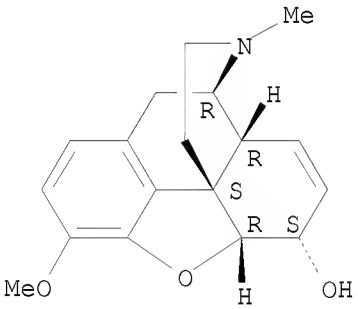
CRN 7664-38-2
CMF H3 O4 P



CM 2

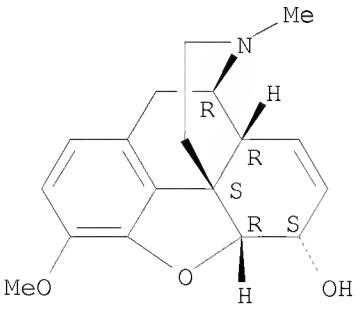
CRN 76-57-3
CMF C18 H21 N O3

Absolute stereochemistry.



RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

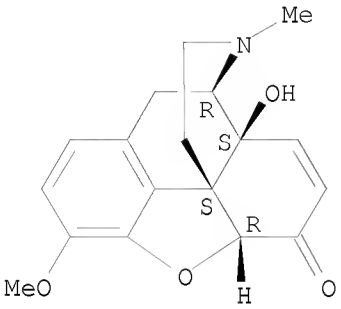
Absolute stereochemistry.



IT 508-54-3P, 14-Hydroxycodeinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenation of; process for manufacturing oxycodone

from codeine)
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

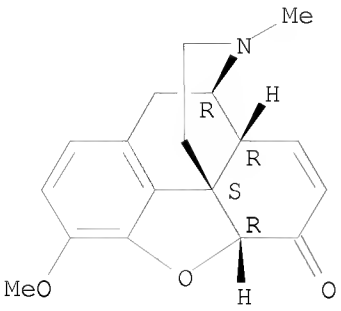
Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

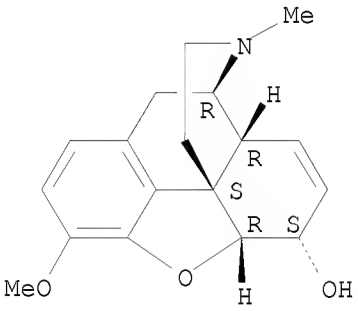
L9 ANSWER 14 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:965647 CAPLUS
DOCUMENT NUMBER: 142:109281
TITLE: Cofactor-dependent enzyme catalysis in functionalized ionic solvents
AUTHOR(S): Walker, Adam J.; Bruce, Neil C.
CORPORATE SOURCE: CNAP, Department of Biology (Area 8), University of York, York, YO10 5YW, UK
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2004), (22), 2570-2571
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:109281
AB Functionalized, hydrogen-bonding ionic liqs. have been successfully evaluated as media for the performance of cofactor-dependent enzyme catalyzed oxidns.; the effects of incorporating hydroxyl groups into both the cation and anion have been studied and the dependence of activity upon water content has been evaluated.
IT 467-13-0P, Codeinone
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(NADP-dependent morphine dehydrogenase-catalyzed oxidation in functionalized ionic solvents)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(cofactor-dependent enzyme-catalyzed oxidns. in functionalized ionic solvents)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

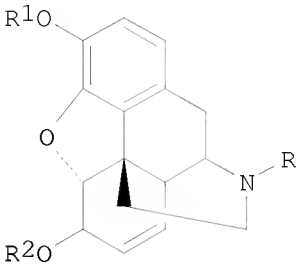


OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

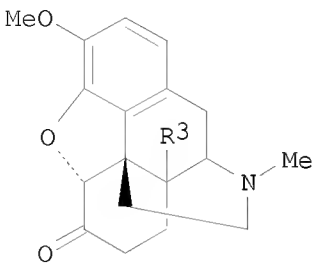
L9 ANSWER 15 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:769580 CAPLUS
DOCUMENT NUMBER: 141:260924
TITLE: A method for preparing derivatives of morphinone
INVENTOR(S): Vlasov, M. I.; Karolikhina, L. A.; Menzenlenko, S. V.; Rybin, P. N.; Semchenko, F. M.; Sinitsyn, G. B.
PATENT ASSIGNEE(S): Gosudarstvennoe Unitarnoe Predpriyatie "Gosudarstvennyi Zavod Meditsinskikh Preparatov", Russia
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

RU 2236412 C2 20040920 RU 2002-119134 20020718
PRIORITY APPLN. INFO.: RU 2002-119134 20020718
OTHER SOURCE(S): MARPAT 141:260924
GI



I



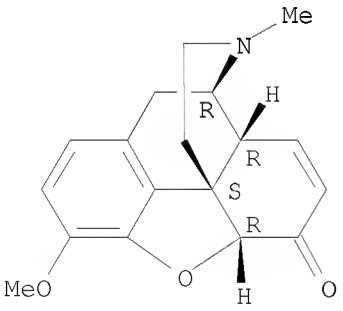
II

AB The invention relates to a method for preparing derivs. of morphinone, useful as intermediate for preparing derivs. of 14-hydroxymorphinone and oxymorphone (opiate antagonists, no data). The method for preparing derivs. of morphinone (codeinone) involves oxidation of derivs. of morphine of formula I [wherein: R is (cyclo)alkyl, (un)substituted benzyl, or CN; R1 is alkyl, benzyl, or alkylcarbonyl; R2 is H, alkyl, benzyl, or alkylcarbonyl] with hypochlorous and hypobromous acid salts. For instance, 14-hydroxycodeinone (II, R3 = OH) was prepared via hydroxylation of codeinone (II, R3 = H) with a yield of 72% (example 2). Advantages of the proposed method include an improved preparing method.

IT 467-13-0P, Codeinone 508-54-3P, 14-Hydroxycodeinone
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(method for preparing derivs. of morphinone)

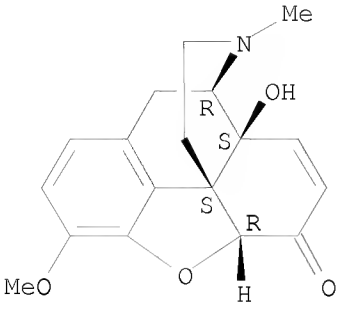
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



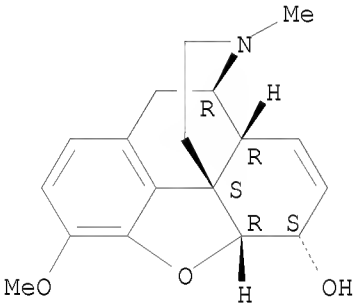
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(method for preparing derivs. of morphinone)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L9 ANSWER 16 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:606558 CAPLUS
DOCUMENT NUMBER: 141:122407
TITLE: Ionic liquid solvents for use in enzymic biocatalysis
INVENTOR(S): Bruce, Neil Charles; Walker, Adam John
PATENT ASSIGNEE(S): Cambridge University Technical Services Ltd., UK
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063383	A1	20040729	WO 2004-GB14	20040107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204209	A1	20040729	AU 2004-204209	20040107

CA 2512744	A1	20040729	CA 2004-2512744	20040107
EP 1594974	A1	20051116	EP 2004-700474	20040107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006514832	T	20060518	JP 2006-500173	20040107
IN 2005KN01489	A	20060707	IN 2005-KN1489	20050729
US 20060154328	A1	20060713	US 2005-541670	20051230
PRIORITY APPLN. INFO.:			GB 2003-595 A	20030110
			WO 2004-GB14 W	20040107

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

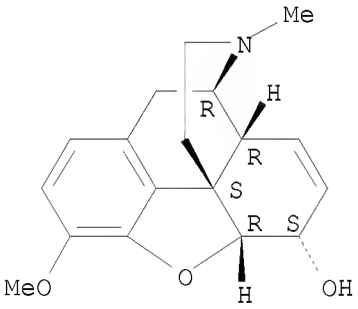
AB This invention relates to ionic liqs. and their use as solvents in biocatalysis. According to a first aspect of the invention there is provided a method of carrying out an enzyme-catalyzed reaction comprising providing a liquid reaction medium which comprises an ionic liquid including an ion which comprises a functional group selected from the group consisting of alkenyl, hydroxyl, amino, thio, carbonyl and carboxyl groups, providing in the liquid reaction medium an enzyme and a substrate for the enzyme, and allowing reaction of the substrate to occur.

IT 76-57-3P, Codeine 467-13-0P, Codeinone
RL: BCP (Biochemical process); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(ionic liquid solvents for use in enzymic biocatalysis)

RN 76-57-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

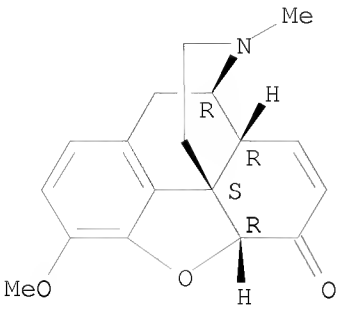
Absolute stereochemistry.



RN 467-13-0 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

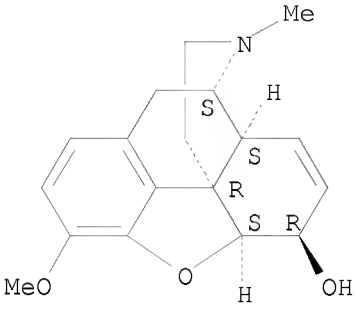
L9 ANSWER 17 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:202089 CAPLUS
DOCUMENT NUMBER: 140:253748
TITLE: Production of (+)-morphine from (-)-sinomenine
INVENTOR(S): Whittall, John; Mather, Paul
PATENT ASSIGNEE(S): Stylacats Limited, UK
SOURCE: Brit. UK Pat. Appl., 22 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2392670	A	20040310	GB 2002-20385	20020903
WO 2004022564	A2	20040318	WO 2003-GB3805	20030903
WO 2004022564	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003263301	A1	20040329	AU 2003-263301	20030903
PRIORITY APPLN. INFO.:			GB 2002-20385 A	20020903
			WO 2003-GB3805 W	20030903

OTHER SOURCE(S): CASREACT 140:253748
AB (+)-Morphine was prepared in a process from (-)-sinomenine by hydrogenation to 7(S)-(+)-dihydrosinomenine or 7(R)-(+)-dihydrosinomenine or a mixture thereof, which were treated with polyphosphoric acid or Eatons reagent to give (+)-dihydrocodeinone followed by treatment with (MeO)3CH and then TsOH followed by NBA/MeOH to give 1,7-dibromodihydrocodeinone dimethylketal, which was converted to (+)-1-bromocodeinone by treatment with tert-butoxide followed by acid. and conversion of the (+)-1-bromocodeinone to (+)-morphine by reaction with LiAlH4 and then BBr3.

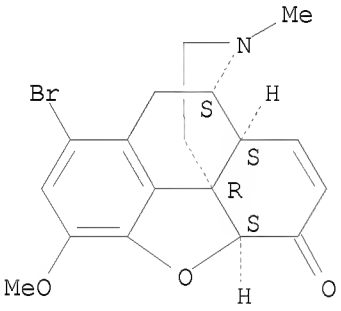
IT 64520-25-8P, (+)-Codeine 669694-69-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(production of (+)-morphine from (-)sinomenine)
RN 64520-25-8 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5β,6β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 669694-69-3 CAPLUS
CN Morphinan-6-one, 1-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:1013019 CAPLUS
DOCUMENT NUMBER: 140:253740
TITLE: Combined biological and chemical catalysis in the preparation of oxycodone
AUTHOR(S): Walker, Adam J.; Bruce, Neil C.
CORPORATE SOURCE: Institute of Biotechnology, University of Cambridge, Cambridge, CB2 1QT, UK
SOURCE: Tetrahedron (2004), 60(3), 561-568
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:253740

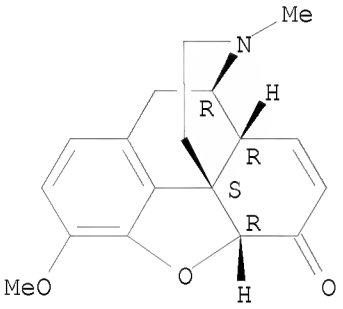
AB The opioid oxycodone was produced from codeine, using a combination of chemical and biol. catalysis. The use of novel functionalized ionic liqs. permitted this reaction to be performed in a single solvent.

IT 467-13-0P, Codeinone
RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(combined biol. and chemical catalysis in preparation of oxycodone)

RN 467-13-0 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.

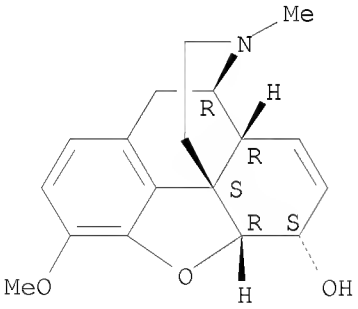


IT 76-57-3, Codeine 1422-07-7, Codeine hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(combined biol. and chemical catalysis in preparation of oxycodone)

RN 76-57-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

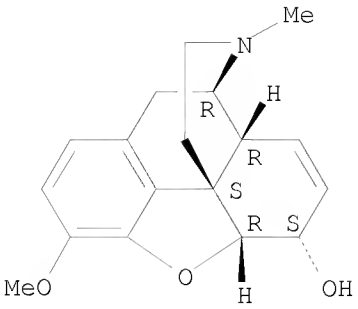
Absolute stereochemistry.



RN 1422-07-7 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, hydrochloride (1:1), (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

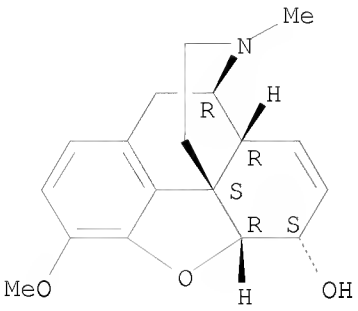
OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:887142 CAPLUS
DOCUMENT NUMBER: 140:77288
TITLE: Efficient N-Demethylation of Opiate Alkaloids Using a Modified Nonclassical Polonovski Reaction
AUTHOR(S): McCamley, Kristy; Ripper, Justin A.; Singer, Robert D.; Scammells, Peter J.
CORPORATE SOURCE: Department of Medicinal Chemistry, Victorian College of Pharmacy, Monash University, Parkville, 3052, Australia
SOURCE: Journal of Organic Chemistry (2003), 68(25), 9847-9850
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:77288

AB A modified Polonovski reaction has been employed to N-demethylate several opiate alkaloids in moderate to high yield. This method provides an alternative to traditional N-demethylation procedures which utilize toxic reagents such as cyanogen bromide or expensive reagents such as vinyl chloroformate. The current synthesis involves N-oxide formation, isolation of the corresponding N-oxide hydrochloride, and an $FeSO_4 \cdot 7H_2O$ mediated Polonovski reaction to afford the desired secondary amine.

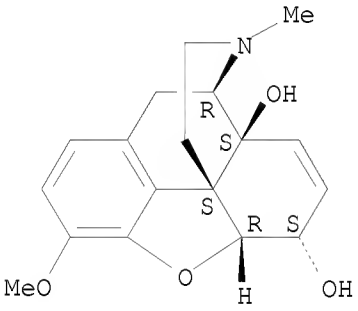
IT 76-57-3, Codeine 4829-46-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(efficient N-demethylation of opiate alkaloids using a modified nonclassical Polonovski reaction)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



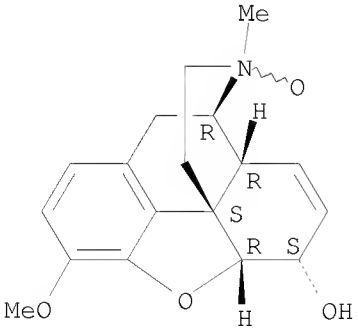
RN 4829-46-3 CAPLUS
CN Morphinan-6,14-diol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 3688-65-1P, Codeine N-oxide 19763-77-0P
642058-09-1P 642058-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(efficient N-demethylation of opiate alkaloids using a modified nonclassical Polonovski reaction)
RN 3688-65-1 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, 17-oxide, (5α,6α)- (CA INDEX NAME)

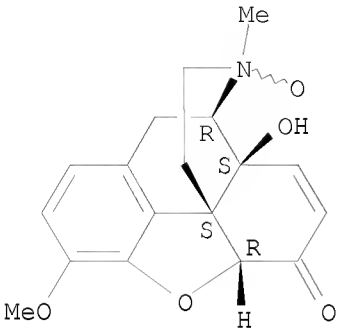
Absolute stereochemistry.



RN 19763-77-0 CAPLUS

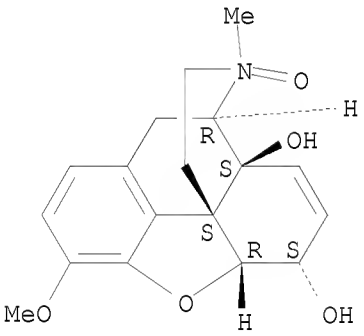
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, 17-oxide, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



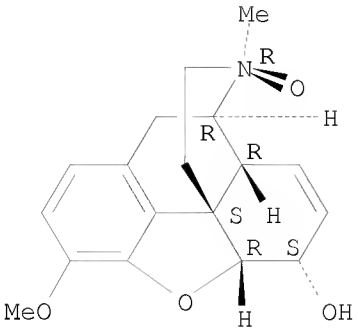
RN 642058-09-1 CAPLUS
CN Morphinan-6,14-diol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, 17-oxide, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 642058-14-8 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, 17-oxide, hydrochloride, (5α,6α,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:57243 CAPLUS
DOCUMENT NUMBER: 134:116109
TITLE: Preparation of oxycodone
INVENTOR(S): Chiu, Fang-Ting; Lo, Young S.
PATENT ASSIGNEE(S): Boehringer Ingelheim Chemicals, Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6177567	B1	20010123	US 1999-419409	19991015
CA 2387523	A1	20010426	CA 2000-2387523	20000915
WO 2001029047	A2	20010426	WO 2000-US25614	20000915
WO 2001029047	A3	20020307		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2004502637	T	20040129	JP 2001-531845	20000915
EP 1638975	A2	20060329	EP 2000-961964	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
US 6262266	B1	20010717	US 2000-667997	20000922
WO 2001029048	A2	20010426	WO 2000-US27037	20000929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 20010005754	A1	20010628	US 2001-793024	20010226
US 6403798	B2	20020611		
MX 2002003594	A	20030523	MX 2002-3594	20020409
US 20020143183	A1	20021003	US 2002-152140	20020521
US 6469170	B2	20021022		

PRIORITY APPLN. INFO.:	US 1999-419409	A 19991015
	WO 2000-US25614	W 20000915
	US 2000-667997	A3 20000922
	US 2001-793024	A3 20010226

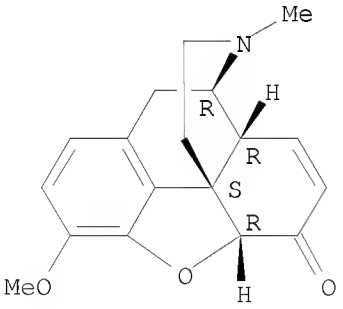
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 134:116109

AB Oxycodone, and its salts were prepared from codeine by oxidation to codeinone, formation of an dienolsilyl ether in strong amine base, oxidation of the dienolsilyl ether using peracetic acid, and hydrogenation of the resulting 14-hydroxycodeinone. Thus, codeinone, prepared by oxidation of codeine sulfate, was treated with Me3CSiMe2Cl in presence of DBU in toluene, the silyl enol ether was treated with AcOOH containing Ac2O and AcOH to give 14-hydroxycodeinone, which was hydrogenated to give oxocodone.

IT 467-13-0P, Codeinone 508-54-3P, 14-Hydroxycodeinone
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxycodone)

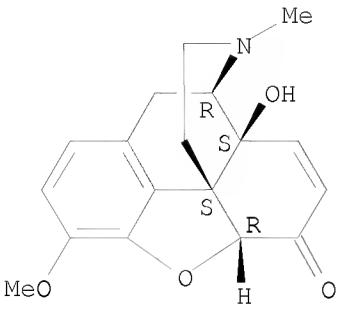
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



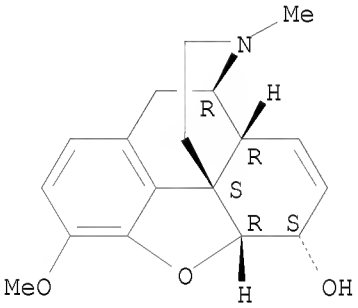
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 76-57-3, Codeine 1420-53-7, Codeine sulfate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxycodone)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

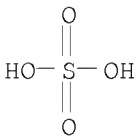
Absolute stereochemistry.



RN 1420-53-7 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)-, sulfate (2:1) (salt) (CA INDEX NAME)

CM 1

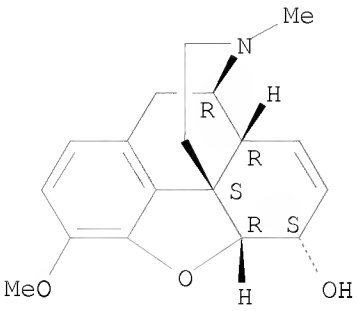
CRN 7664-93-9
CMF H2 O4 S



CM 2

CRN 76-57-3
CMF C18 H21 N O3

Absolute stereochemistry.



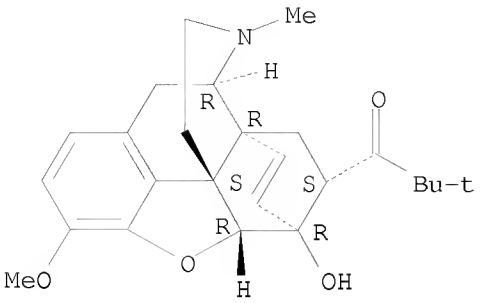
OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:698520 CAPLUS
DOCUMENT NUMBER: 134:17605
TITLE: Perchloric acid induced epimerization of the thevinones: an improved synthesis of 7β-dihydrothevinones
AUTHOR(S): Derrick, I.; Coop, A.; Al-Mousawi, S. M.; Husbands, S. M.; Lewis, J. W.
CORPORATE SOURCE: School of Chemistry, University of Bristol, Bristol, BS8 1TS, UK
SOURCE: Tetrahedron Letters (2000), 41(39), 7571-7576
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:17605

AB The region above and away from C7 in the orvinols is known to be of particular importance in determining the μ-opioid receptor profile of this important class of opioids. However it has been difficult to explore this site due to the relative inaccessibility of 7β-substituted compds. Here is reported that perchloric acid induced epimerization of the 7α-ketones (dihydrothevinones) allows considerably improved access to a series of β-ketones (β-dihydrothevinones). The extent of epimerization of the 7α-ketone is determined by the degree of steric bulk in both the 6,14-bridge and in the ketone side chain.

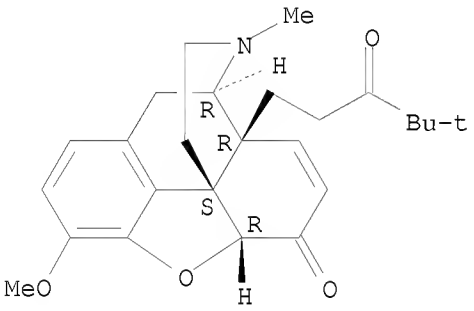
IT 309757-47-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 7β-dihydrothevinones via perchloric acid induced epimerization)
RN 309757-47-9 CAPLUS
CN 1-Propanone, 1-[(5α,7α)-4,5-epoxy-6-hydroxy-3-methoxy-17-methyl-6,14-ethenomorphinan-7-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 309757-49-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 7β-dihydrothevinones via perchloric acid induced epimerization)
RN 309757-49-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-14-(4,4-dimethyl-3-oxopentyl)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

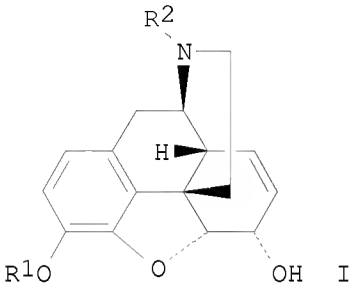
L9 ANSWER 22 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:636090 CAPLUS
DOCUMENT NUMBER: 131:228867
TITLE: Process for the preparation of codeinone opiates
INVENTOR(S): Sebastian, Alice
PATENT ASSIGNEE(S): Johnson Matthey PLC, UK
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 943617	A1	19990922	EP 1999-301361	19990224
EP 943617	B1	20030806		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

	IE, SI, LT, LV, FI, RO				
AT	246688	T	20030815	AT 1999-301361	19990224
ES	2205711	T3	20040501	ES 1999-301361	19990224
JP	11292866	A	19991026	JP 1999-64713	19990311
US	6235906	B1	20010522	US 1999-271349	19990317
US	20010018519	A1	20010830	US 2001-835525	20010417
PRIORITY APPLN. INFO.:				GB 1998-5516 A	19980317
				US 1999-271349 A1	19990317

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 131:228867; MARPAT 131:228867
GI



I

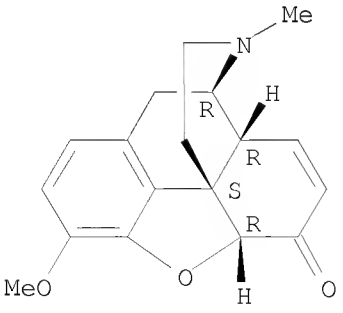
AB A novel process for the preparation of codeinone and analogs thereof, comprising the oxidation of a compound of formula I [R1 = lower alkyl, acyl; R2 = lower alkyl, allyl, lower alkyl substituted by cycloalkyl], characterized in that the oxidation is carried out in an acidic environment, is disclosed. Thus, codeine was dissolved in iso-Pr alc. and water with 6N HCl, and reacted with γ-manganese dioxide to give codeinone in 95% yield with 95% purity.

IT 467-13-0P, Codeinone
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of codeinone via oxidation)

RN 467-13-0 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.

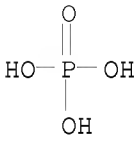


IT 52-28-8, Codeine phosphate 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of codeinone via oxidation)
RN 52-28-8 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)-, phosphate (1:1) (CA INDEX NAME)

CM 1

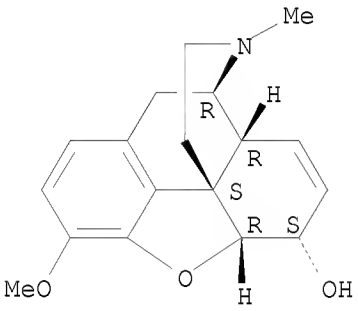
CRN 7664-38-2
CMF H3 O4 P



CM 2

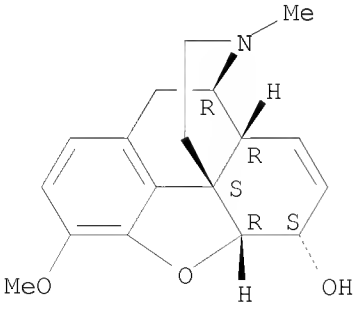
CRN 76-57-3
CMF C18 H21 N O3

Absolute stereochemistry.



RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



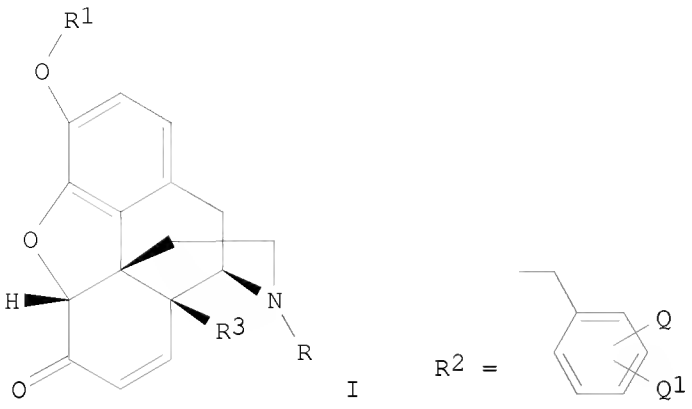
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 23 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:64805 CAPLUS
DOCUMENT NUMBER: 130:125256
TITLE: Preparation of oxymorphone, oxycodone and derivatives
INVENTOR(S): Huang, Bao-shan; Christodoulou, Aris; Lu, Yansong; Ji, Ben-yi
PATENT ASSIGNEE(S): Penick Corporation, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902529	A1	19990121	WO 1998-US13592	19980710
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5869669	A	19990209	US 1997-893464	19970711
CA 2296035	A1	19990121	CA 1998-2296035	19980710
CA 2296035	C	20040413		
AU 9883791	A	19990208	AU 1998-83791	19980710
AU 740822	B2	20011115		
ZA 9806144	A	19990519	ZA 1998-6144	19980710
EP 1000065	A1	20000517	EP 1998-934213	19980710
EP 1000065	B1	20050316		
R: AT, CH, DE, DK, ES, FR, GB, LI, NL, SE, FI				
TR 2000000033	T2	20001221	TR 2000-33	19980710
HU 2000003918	A2	20010730	HU 2000-3918	19980710
HU 2000003918	A3	20030428		
JP 2001518444	T	20011016	JP 2000-502051	19980710
RU 2183636	C2	20020620	RU 2000-100062	19980710
CN 1152880	C	20040609	CN 1998-808816	19980710
AT 291023	T	20050415	AT 1998-934213	19980710
US 5922876	A	19990713	US 1998-116284	19980716
US 5952495	A	19990914	US 1998-116286	19980716
US 6008355	A	19991228	US 1998-116283	19980716
US 6008354	A	19991228	US 1998-116285	19980716
US 6013796	A	20000111	US 1998-116282	19980716
US 5948788	A	19990907	US 1998-118577	19980717
IN 1998CA01500	A	20050311	IN 1998-CA1500	19980821
TW 505648	B	20021011	TW 1998-116132	19980929
NO 2000000111	A	20000225	NO 2000-111	20000110
HK 1028025	A1	20050722	HK 2000-107260	20001115

PRIORITY APPLN. INFO.: US 1997-893464 A 19970711
WO 1998-US13592 W 19980710
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 130:125256; MARPAT 130:125256
GI

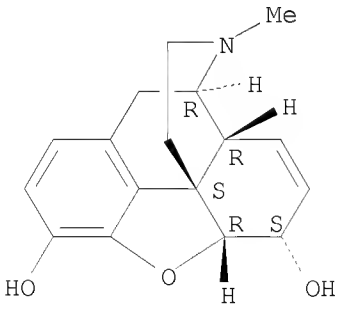


AB The title compds. [I; R = C1-7 alkyl, cycloalkyl, benzyl, R2; Q, Q1 = H, alkyl, CF3, nitro, dialkylamino, cyano; R1 = 2-(4-morpholinyl)ethyl, benzyloxycarbonyl, any group described in the definition for R, etc.; R3 = OH] are prepared by reacting I [R3 = H; R, R1, R2 same as above] with H2O2 at a temperature of ca. 15 to ca 70° in the presence of an acid and an aqueous solvent system. Thus, codeinone (preparation given) was treated with NaOAc and HOAc in toluene to give codeinone dienol acetate, which was treated with HCOOH and H2O2 in water to give the title compound 14-hydroxycodeinone. Some final products are oxycodone, oxymorphone, noroxymorphone and naltrexone. Noroxymorphone is a key intermediate for the production of important narcotic analgesics and antagonists. The invention also provides certain novel intermediates.

IT 57-27-2, Morphine, reactions 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxymorphone, oxycodone and derivs. via oxidation with hydrogen peroxide and m-chloroperbenzoic acid)

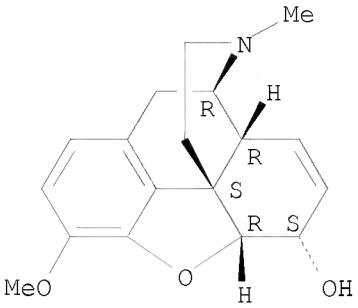
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



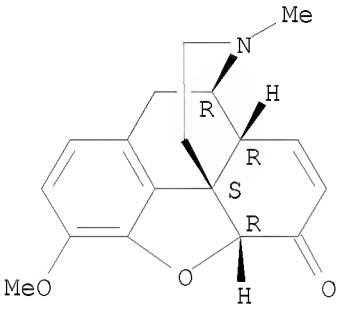
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



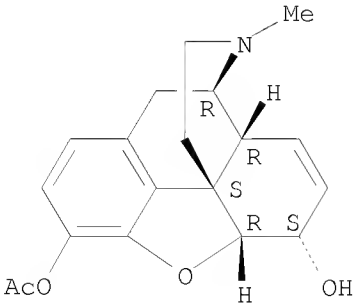
IT 467-13-0P, Codeinone 5140-28-3P
14297-87-1P 26988-26-1P 32537-69-2P
32808-04-1P 219917-01-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxymorphone, oxycodone and derivs. via oxidation with hydrogen peroxide and m-chloroperbenzoic acid)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



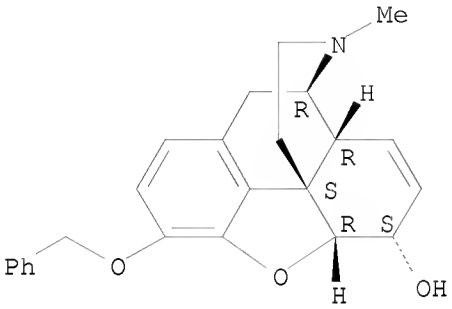
RN 5140-28-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 3-acetate (CA INDEX NAME)

Absolute stereochemistry.



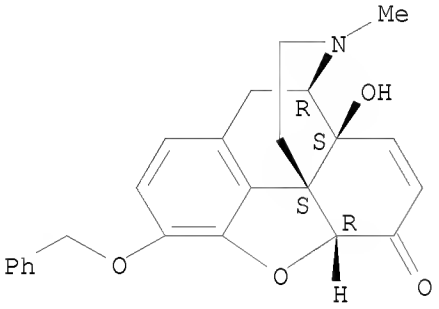
RN 14297-87-1 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-17-methyl-3-(phenylmethoxy)-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



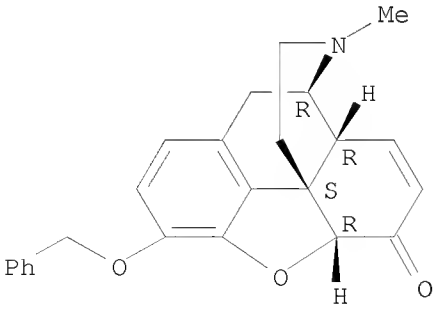
RN 26988-26-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-17-methyl-3-(phenylmethoxy)-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32537-69-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-17-methyl-3-(phenylmethoxy)-, (5α)- (9CI) (CA INDEX NAME)

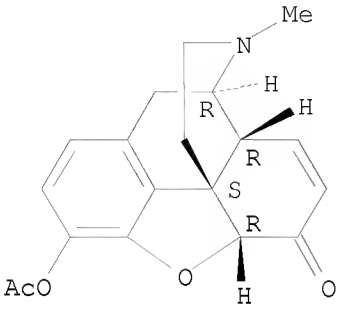
Absolute stereochemistry.



RN 32808-04-1 CAPLUS
CN Morphinan-6-one, 3-(acetyloxy)-7,8-didehydro-4,5-epoxy-17-methyl-,

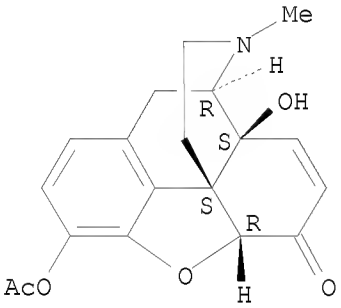
(5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



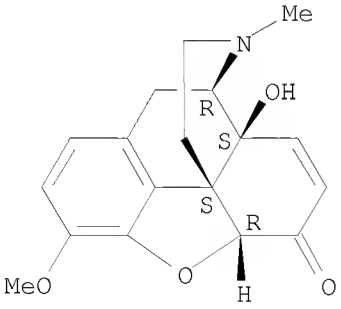
RN 219917-01-8 CAPLUS
CN Morphinan-6-one, 3-(acetyloxy)-7,8-didehydro-4,5-epoxy-14-hydroxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 508-54-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxymorphone, oxycodone and derivs. via oxidation with hydrogen peroxide and m-chloroperbenzoic acid)
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.

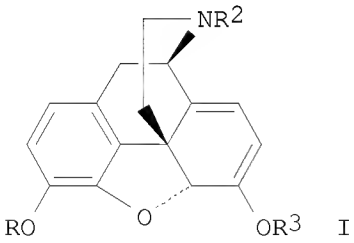


OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 24 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:42498 CAPLUS
DOCUMENT NUMBER: 130:110451
TITLE: Process for the production of thebaine and analogs thereof as well as intermediate products therefor
INVENTOR(S): Dung, Jen-Sen; Mudryk, Bogdan; Sapino, Chester; Sebastian, Alice
PATENT ASSIGNEE(S): Johnson Matthey Public Limited Company, UK
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 889045	A1	19990107	EP 1998-305046	19980626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2241772	A1	19981230	CA 1998-2241772	19980629
AU 9873939	A	19990107	AU 1998-73939	19980630
AU 725396	B2	20001012		
JP 11071375	A	19990316	JP 1998-184204	19980630
US 6090943	A	20000718	US 1998-107509	19980630
US 6365742	B1	20020402	US 2000-571378	20000515
PRIORITY APPLN. INFO.:			GB 1997-13703 A	19970630
			US 1998-107509 A3	19980630

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 130:110451; MARPAT 130:110451
GI

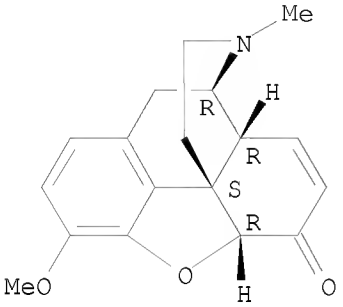


I

AB A process for the preparation of thebaines I (R1 and R3 are the same or different and each is a protecting group; R2 is lower alkyl, allyl, alkylcycloalkyl), its salts such as the bitartrate, were prepared by reaction of I (R3 = alkali metal or a quaternary ammonium cation) with R3X (X = leaving group). Thebaine bitartrate is itself useful in the preparation of oxycodone; analogs are useful in the preparation of analogous 14-hydroxymorphinones. Thus, codeinone, prepared from codeine, was treated with Me3COK in N-methylpyrrolidinone followed by di-Me sulfate to give 82% thebaine which was purified and converted to the bitartrate.

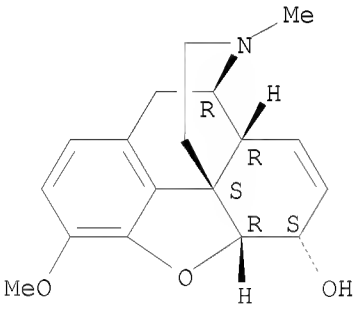
IT 467-13-0P, Codeinone
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for production of thebaine and analogs thereof as well as intermediate products therefor)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for production of thebaine and analogs thereof as well as intermediate products therefor)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 25 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:32647 CAPLUS
DOCUMENT NUMBER: 130:168514
TITLE: A novel synthesis of thebaine from codeine
AUTHOR(S): Coop, Andrew; Rice, Kenner C.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, National Institute of Diabetes, Digestive and Kidney Diseases, Bethesda, MD, 20892-0815, USA

SOURCE: Heterocycles (1998), 49, 43-48
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:168514

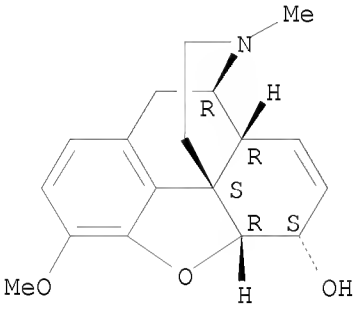
AB Codeine was converted into thebaine through methylation of the enolate of codeinone.

IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of thebaine from codeine via methylation)

RN 76-57-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

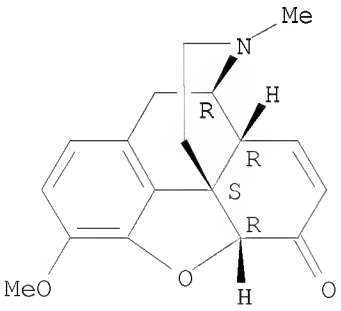


IT 467-13-0P, Codeinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of thebaine from codeine via methylation)

RN 467-13-0 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:775026 CAPLUS

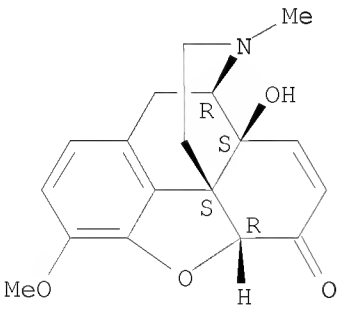
DOCUMENT NUMBER: 130:110434
TITLE: Transformations of morphine, codeine and their analogs by Bacillus sp.
AUTHOR(S): Madyastha, K. M.; Reddy, G. V. B.; Sridhar, G. R.
CORPORATE SOURCE: Department of Organic Chemistry, Bioorganic Section, Indian Institute of Science, Bangalore, 560 012, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1998), 37B(8), 749-753
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:110434

AB A bacterial strain belonging to the genus Bacillus isolated by enrichment culture technique using morphine as a sole source of carbon transforms morphine and codeine into 14-hydroxymorphinone and 14-hydroxycodeinone as major and 14-hydroxymorphine and 14-hydroxycodeine as minor metabolites, resp. When the N-Me group in morphine and codeine are replaced by higher alkyl groups, the organism still retains its ability to carry out 14-hydroxylation as well as oxidation of the C6-hydroxyl group in these N-variants, although the level of metabolites formed are considerably low. The organism readily transforms dihydromorphine and dihydrocodeine into only dihydromorphinone and dihydrocodeinone, resp., suggesting that the 7,8-double bond is a necessary structural feature to carry out 14-hydroxylation reaction. The cell free extract (20,000 + g supernatant), prepared from morphine grown cells, transforms morphine into 14-hydroxymorphinone in the presence of NAD+, but fails to show activity against testosterone. However, the cell free extract prepared from testosterone grown cells contains significant levels of 17β-hydroxysteroid dehydrogenase but shows no activity against morphine.

IT 508-54-3P 41135-98-2P
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(transformations of morphine, codeine and analogs by Bacillus sp.)

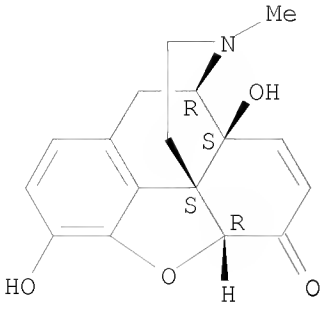
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



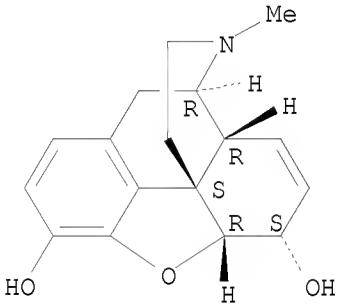
RN 41135-98-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3,14-dihydroxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



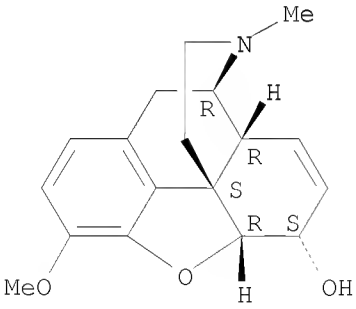
IT 57-27-2, Morphine, reactions 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(transformations of morphine, codeine and analogs by Bacillus sp.)
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



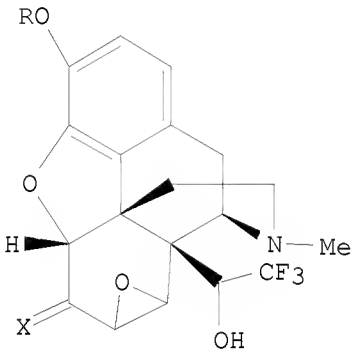
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-,
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 27 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:373351 CAPLUS
DOCUMENT NUMBER: 129:175814
ORIGINAL REFERENCE NO.: 129:35737a,35740a
TITLE: Synthesis of fluorinated new thebaine derivatives as analgesics
AUTHOR(S): Kim, Keun-Jae; Kim, Su-Man
CORPORATE SOURCE: Dep. Applied Chem., Han Nam Univ., Daejeon, S. Korea
SOURCE: Yakhak Hoechi (1998), 42(3), 257-264
CODEN: YAHOA3; ISSN: 0513-4234
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: Korean
GI



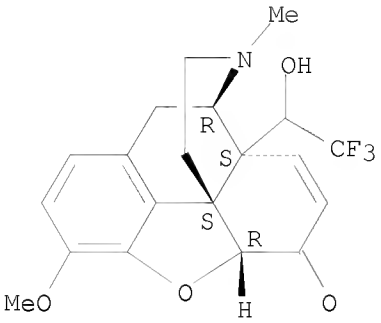
I

AB 5-Methylthebaine was obtained by treating thebaine with n-butyllithium and Me fluorosulfonate. Hetero Diels-Alder reaction of thebaine and 5-methylthebaine with trifluoroacetaldehyde afforded 14-β-(trifluoro-2-hydroxyethyl)codeine, and 14-β-(trifluoro-2-hydroxyethyl)-5-methylcodeinone. A fluorinated 6α-OH compound a fluorinated 3-OH compound, and the epoxides I [X = O, R = Me; X = (H, OH), R = H] were also synthesized. Structure-activity and analgetic action of these fluorinated thebaine derivs. are discussed.

IT 134822-47-2P 134822-49-4P 211572-19-9P
211572-21-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of new fluorinated thebaine derivs. as analgesics)

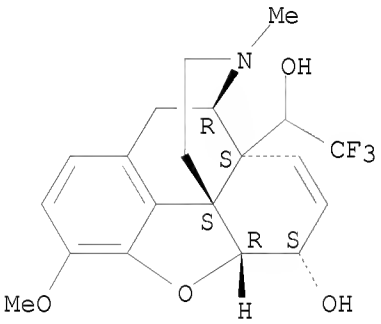
RN 134822-47-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-(2,2,2-trifluoro-1-hydroxyethyl)-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



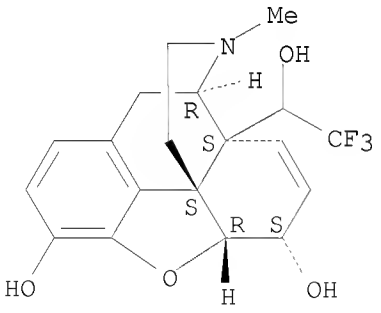
RN 134822-49-4 CAPLUS
CN Morphinan-14-methanol, 7,8-didehydro-4,5-epoxy-6-hydroxy-3-methoxy-17-methyl-α-(trifluoromethyl)-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



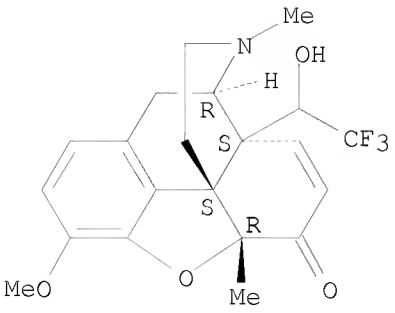
RN 211572-19-9 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-14-(2,2,2-trifluoro-1-hydroxyethyl)-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 211572-21-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-5,17-dimethyl-14-(2,2,2-trifluoro-1-hydroxyethyl)-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



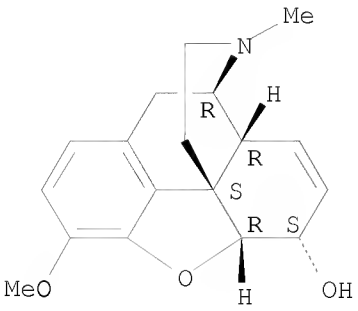
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 28 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:373243 CAPLUS
DOCUMENT NUMBER: 129:149119
ORIGINAL REFERENCE NO.: 129:30401a,30404a
TITLE: L-Selectride as a General Reagent for the O-Demethylation and N-Decarbomethoxylation of Opium Alkaloids and Derivatives
AUTHOR(S): Coop, Andrew; Janetka, James W.; Lewis, John W.; Rice, Kenner C.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, National Institute of Diabetes Digestive and Kidney Diseases, Bethesda, MD, 20892-0815, USA
SOURCE: Journal of Organic Chemistry (1998), 63(13), 4392-4396
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:149119

AB L-Selectride was shown to be an efficient and general O-demethylating agent for the opium alkaloids and their derivs. and also an efficient reagent for the cleavage of Me carbamates, thus offering a convenient method for the N-demethylation of opioids. Further, it was shown that by choice of reaction conditions it is possible to achieve both N-decarbomethoxylation and O-demethylation in one pot, or only render N-decarbomethoxylation in high yield without accompanying O-demethylation.

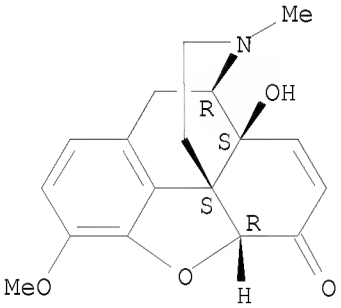
IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(L-selectride as a general reagent for O-demethylation and N-decarbomethoxylation of opium alkaloids and derivs.)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



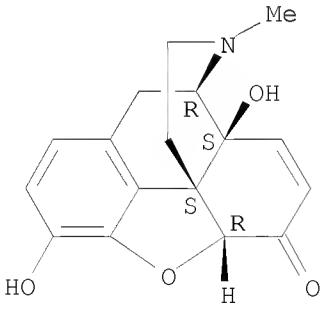
IT 508-54-3P, 14-Hydroxycodeinone 41135-98-2P, 14-Hydroxymorphinone
RL: SPN (Synthetic preparation); PREP (Preparation)
(L-selectride as a general reagent for O-demethylation and N-decarbomethoxylation of opium alkaloids and derivs.)
RN 508-54-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 41135-98-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3,14-dihydroxy-17-methyl-, (5α)- (CA INDEX NAME)

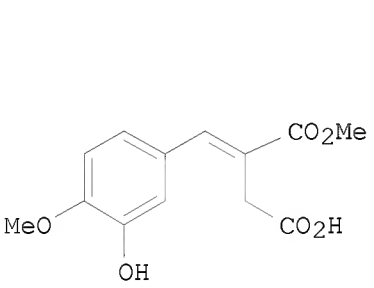
Absolute stereochemistry.



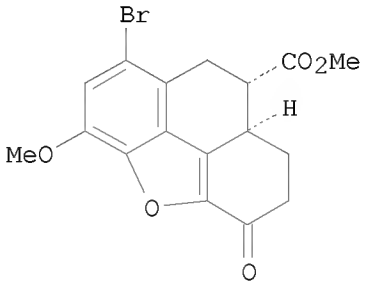
OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

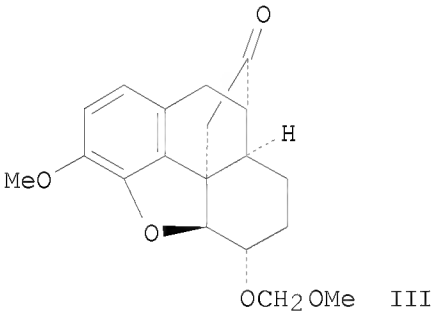
L9 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:528785 CAPLUS
DOCUMENT NUMBER: 127:162004
ORIGINAL REFERENCE NO.: 127:31415a
TITLE: Asymmetric Synthesis of (+)-Morphine. The Phenanthrene Route Revisited
AUTHOR(S): White, James D.; Hrnciar, Peter; Stappenbeck, Frank
CORPORATE SOURCE: Department of Chemistry, Oregon State University, Corvallis, OR, 97331-4003, USA
SOURCE: Journal of Organic Chemistry (1997), 62(16), 5250-5251
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:162004
GI



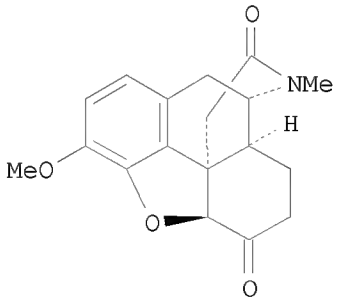
I



II



III



IV

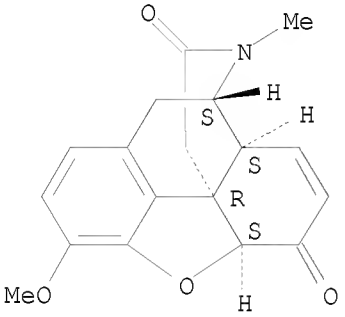
AB The unnatural enantiomer of the analgesic agent morphine was synthesized in 28 steps and 3% overall yield from isovanillin. Asymmetry was introduced by hydrogenation over a chiral catalyst of the Stobbe condensation product I of di-Me succinate with isovanillin, and the resultant carboxylic acid of (S) configuration was converted a tetralone. Robinson annulation of this material with Me vinyl ketone gave the hydrophenanthrenone, which was brominated and cyclized to the benzofuran II. After reduction of the ketone and hydrogenation of the furan moieties, the derived diazoketone was treated with rhodium(II) acetate to give the pentacyclic C-H insertion product III. Beckmann rearrangement of the oxime brosylate derived from III afforded δ-lactam, which underwent N-methylation, deprotection, and oxidation to IV. The latter was converted

to a enone, which upon reduction furnished the ent-codeine. O-Demethylation of the ent-codeine to (+)-morphine followed a known procedure.

IT 193679-41-3P 193679-42-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of (+)-morphine via the phenanthrene route)

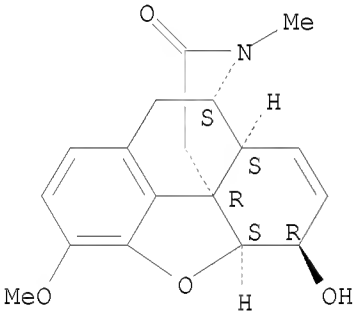
RN 193679-41-3 CAPLUS
CN Morphinan-6,16-dione, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 193679-42-4 CAPLUS
CN Morphinan-16-one, 7,8-didehydro-4,5-epoxy-6-hydroxy-3-methoxy-17-methyl-, (5β,6β,9α,13α,14α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 28 THERE ARE 28 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)

L9 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:108747 CAPLUS
DOCUMENT NUMBER: 126:207141
ORIGINAL REFERENCE NO.: 126:39901a,39904a
TITLE: Ligand recognition in μ opioid receptor: experimentally based modeling of μ opioid receptor binding sites and their testing by ligand docking
AUTHOR(S): Sagara, Takeshi; Egashira, Hiromu; Okamura, Mikako; Fujii, Ikuo; Shimohigashi, Yasuyuki; Kanematsu, Ken
CORPORATE SOURCE: Institute of Synthetic Organic Chemistry, Faculty of Pharmaceutical Sciences, Kyushu University 62, Fukuoka, 812-82, Japan
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(12),

2151-2166
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

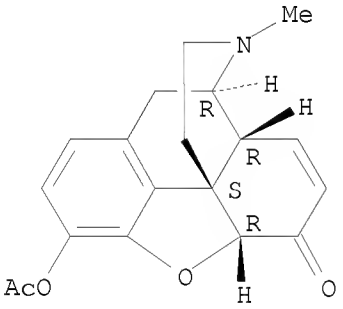
AB For three-dimensional understanding of the mechanisms that control potency and selectivity of the ligand binding at the atomic level, we have analyzed opioid receptor-ligand interaction based on the receptor's 3D model. As a first step, we have constructed mol. models for the multiple opioid receptor subtypes using bacteriorhodopsin as a template. The S-activated dihydromorphine derivs. should serve as powerful tools in mapping the three-dimensional structure of the μ opioid receptor, including the nature of the agonist-mediated conformational change that permits G protein-coupling to "second messenger" effector mols., and in identifying specific ligand-binding contacts with the μ opioid receptor. The analyses of the interactions of some opioid ligands with the predicted ligand binding sites are consistent with the results of the affinity labeling expts.

IT 32808-04-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; ligand recognition in μ opioid receptor: exptl. based modeling of μ opioid receptor binding sites and their testing by ligand docking)

RN 32808-04-1 CAPLUS

CN Morphinan-6-one, 3-(acetyloxy)-7,8-didehydro-4,5-epoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

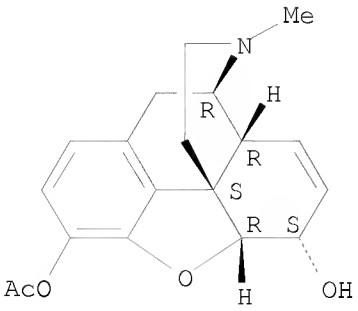


IT 5140-28-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; ligand recognition in μ opioid receptor: exptl. based modeling of μ opioid receptor binding sites and their testing by ligand docking)

RN 5140-28-3 CAPLUS

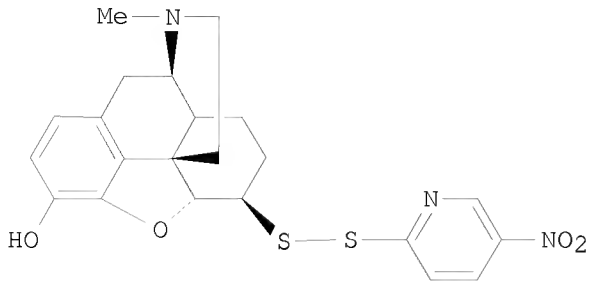
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 3-acetate (CA INDEX NAME)

Absolute stereochemistry.

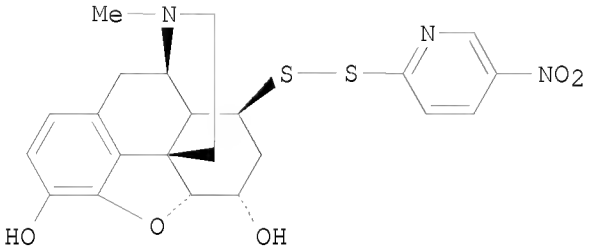


OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:755716 CAPLUS
DOCUMENT NUMBER: 123:218228
ORIGINAL REFERENCE NO.: 123:38551a,38554a
TITLE: Specific affinity labeling of μ opioid receptors in rat brain by S-activated sulfhydryldihydromorphine analogs
AUTHOR(S): Sagara, Takeshi; Okamura, Mikako; Shimohigashi, Yasuyuki; Ohno, Motonori; Kanematsu, Ken
CORPORATE SOURCE: Inst. Synthetic Org. Chem., Kyushu Univ. 62, Fukuoka, 812-82, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(15), 1609-14
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:218228
GI



I



II

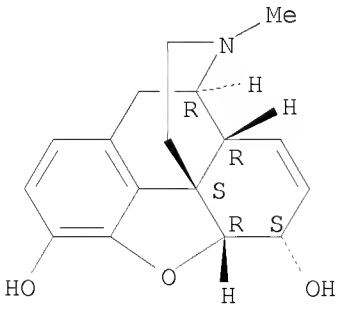
AB S-Activated sulfhydryldihydromorphine analogs I and II were synthesized. In the rat brain receptor binding assays, both I and II exhibited high affinities for μ opioid receptors (IC50; I = 31.1 nM, II = 10.7 nM). However, when each analog was incubated with membranes for the purpose of getting disulfide bridgings, I (EC50 = 58 nM) was found to affinity-label the μ receptors about 30 times more effectively than II (EC50 = 1700 nM). The present results indicate that the opioid receptor protein contains a distinct free thiol group in the ligand binding site.

IT 57-27-2, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(specific affinity labeling of μ opioid receptors in rat brain by S-activated sulfhydrylhydromorphine analogs)

RN 57-27-2 CAPLUS

CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

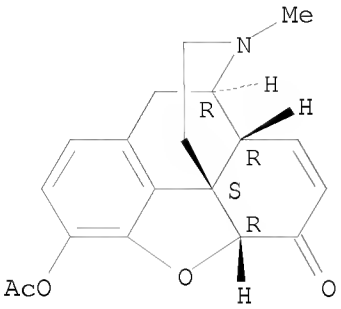
Absolute stereochemistry. Rotation (-).



IT 32808-04-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(specific affinity labeling of μ opioid receptors in rat brain by

S-activated sulfhydrylhydromorphine analogs)
RN 32808-04-1 CAPLUS
CN Morphinan-6-one, 3-(acetyloxy)-7,8-didehydro-4,5-epoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

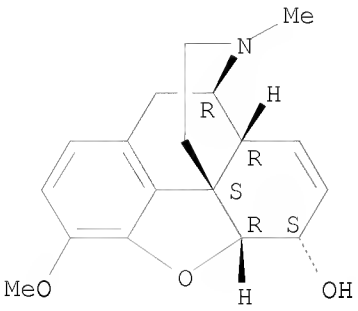
Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

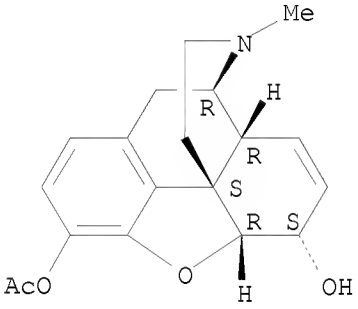
L9 ANSWER 32 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:522493 CAPLUS
DOCUMENT NUMBER: 123:112541
ORIGINAL REFERENCE NO.: 123:20121a,20124a
TITLE: Synthesis and characterization of 6-O-α- and 6-O-β-D-glucopyranosylmorphine and 6-O-β-D-glucopyranosylcodeine
AUTHOR(S): Kovac, Pavol; Rice, Kenner C.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, NIDDK, National Institutes of Health, Bethesda, MD, 20892-0815, USA
SOURCE: Heterocycles (1995), 41(4), 697-707
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 6-O-α- and β-D-glucopyranosylmorphine and 6-O-β-D-glucopyranosylcodeine have been prepared by condensations of 2,3,4,6-tetra-O-acyl-α-D-glucopyranosyl bromides with 3-O-acetylmorphine and codeine, resp., followed by deprotection. Depending upon the method of condensation, variable amts. of ortho esters were found among the final products of condensation together with the desired glycosides. Highest yields of glycosides were obtained when 2,3,4,6-tetra-O-benzoyl-α-D-glucopyranosyl bromide was the glycosyl donor, and when the condensation was promoted with silver triflate in the presence of a less than stoichiometric amount of 2,4,6-trimethylpyridine as the acid scavenger.
IT 76-57-3 5140-28-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and characterization of glucopyranosylmorphine and glucopyranosylcodeine)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



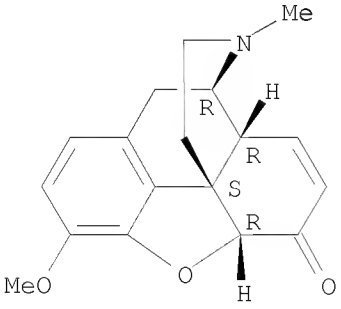
RN 5140-28-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 3-acetate (CA INDEX NAME)

Absolute stereochemistry.



IT 467-13-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and characterization of glucopyranosylmorphine and glucopyranosylcodeine)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

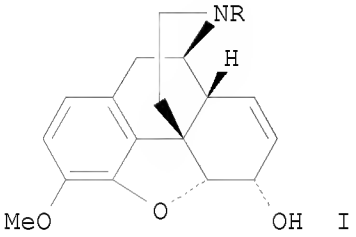
Absolute stereochemistry.



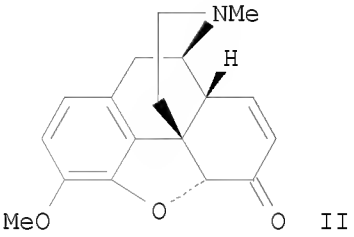
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L9 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:342560 CAPLUS
DOCUMENT NUMBER: 122:240082
ORIGINAL REFERENCE NO.: 122:43893a,43896a
TITLE: Photochemical oxidation of codeine
AUTHOR(S): Chervenkova, V. B.; Bacalska, R. I.; Mardirossian, Z. H.
CORPORATE SOURCE: Department Organic Chemistry, University Plovdiv, Plovdiv, 4000, Bulg.
SOURCE: Dokladi na Bulgarskata Akademiya na Naukite (1993), 46(10), 45-8
CODEN: DBANEH; ISSN: 0861-1459
PUBLISHER: Izdatelstvo na Bulgarskata Akademiya na Naukite
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



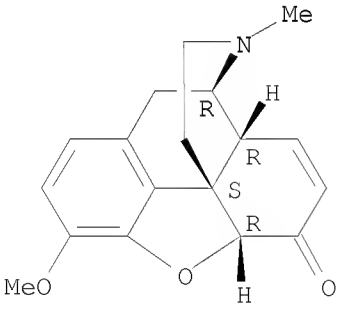
I



II

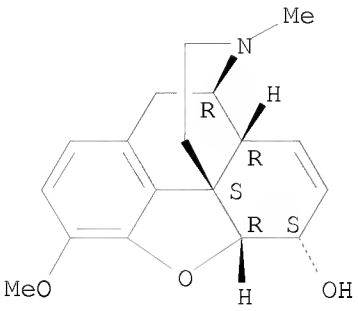
AB Photochem. oxidation of codeine (I, R = Me) gave codeine oxide, I (R = H, CHO), and II.
IT 467-13-0P
RL: BYP (Byproduct); PREP (Preparation)
(photochem. oxidation of codeine)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



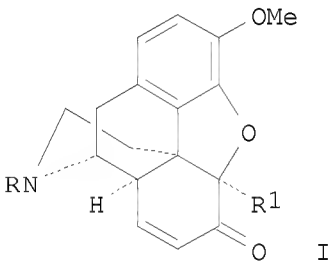
IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(photochem. oxidation of codeine)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 34 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:178110 CAPLUS
DOCUMENT NUMBER: 122:56266
ORIGINAL REFERENCE NO.: 122:10911a,10914a
TITLE: Photochemistry of Structurally-Modified Morphine Alkaloids
AUTHOR(S): Schultz, Arthur G.; Graves, David M.; Green, Neal J.; Jacobson, Richard R.; Nowak, Deanne M.
CORPORATE SOURCE: Department of Chemistry, Rensselaer Polytechnic Institute, Troy, NY, 12180-3590, USA
SOURCE: Journal of the American Chemical Society (1994), 116(23), 10450-62
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB N-Carbomethoxynorcodeinone (I, R = CO2Me, R1 = H) was found to be unreactive to photolysis in benzene solution, but irradiation (366 nm) in the presence of methanol, water, ethanol, or Pr alc. gave the rearranged and solvent-incorporated phenols. Under comparable photolysis conditions, N-carbomethoxynordihydrocodeinone did not photorearrange at 366 or >300 nm. A spirocyclopropane is proposed to be an intermediate in this photorearrangement; addition of ROH to the spirocyclopropane occurs by nucleophilic attack with inversion of configuration at the cyclopropane carbon atom most able to stabilize a pos. charge. In the absence of a suitable nucleophile (benzene or t-BuOH solns.) the spirocyclopropane reverts to I (R = Me, R1 = H). Irradiation of the C(5)-methyl-substituted codeinone derivative I (R = R1 = Me) in methanol solution did not result in solvent incorporation, but rather gave a benzopyran in quant. yield by way

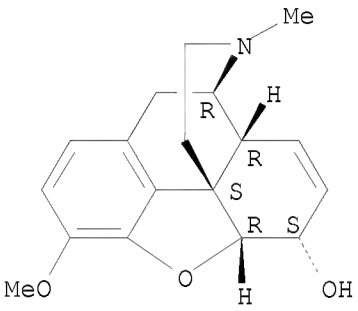
of a intermediate dienone. The carbamate I (R = CO2Me, R1 = Me) gave a separable mixts. of which the dienone was converted to a benzopyran in quant. yield by treatment with diethylamine in CH2Cl2. Addnl. examples of this tandem photorearrangement-hydrogen atom transfer-intramol. conjugate addition are described. Photolysis of I (R = CO2Me R1 = H) in the presence of acetic acid gives a mixture of a solvent-incorporated phenol and 8,9-dihydro-2-methoxy-7-carbomethoxydibenz[d,f]azonine-1,13-diol. Enones I (R = CO2Me, R1 = H; R = CO2Me, R1 = Me) also undergo SET-type photoredns. in the presence of triethylamine (TEA) to give α-thebainone derivs. A mechanism is proposed to account for photoproduct distributions when irradiations are carried out in the presence of varying amts. of both methanol and TEA. Codeine is as effective as TEA in promoting the photoredn. of I (R = CO2Me, R1 = H) to a α-thebainone derivative Opportunities for the utilization of the photochem. of modified morphine alkaloids for approaches to opiate receptor photoaffinity labeling and the provision of new substrates for opiate receptor affinity studies are briefly discussed.

IT 76-57-3, Codeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(photochem. of structurally-modified morphine alkaloids)

RN 76-57-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

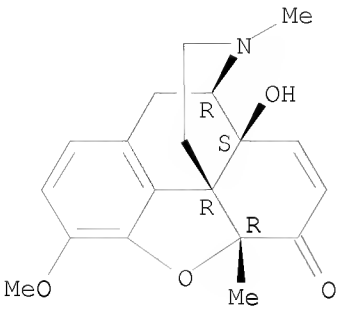


IT 121720-01-2P 159854-19-0P 159854-20-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(photochem. of structurally-modified morphine alkaloids)

RN 121720-01-2 CAPLUS

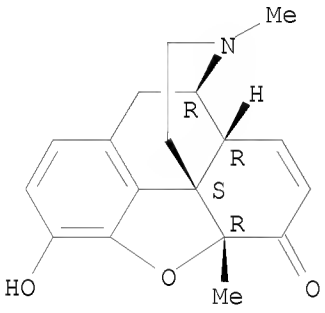
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-5,17-dimethyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



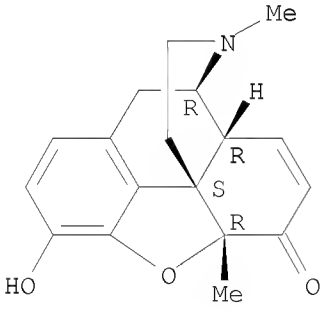
RN 159854-19-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-5,17-dimethyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159854-20-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-5,17-dimethyl-, hydrobromide, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

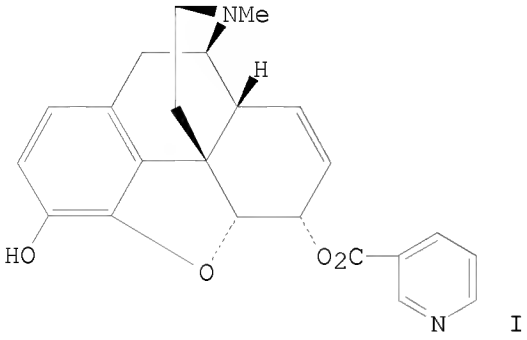


● HBr

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L9 ANSWER 35 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:218248 CAPLUS
DOCUMENT NUMBER: 120:218248
ORIGINAL REFERENCE NO.: 120:38781a,38784a
TITLE: Synthesis and analgetic activity of nicotinic esters of morphine derivatives
AUTHOR(S): Hosztafi, S.; Kohegyi, I.; Simon, C.; Furst, Z.
CORPORATE SOURCE: Alkaloida Chem. Co. Ltd., Tiszavasvari, Hung.
SOURCE: Arzneimittel-Forschung (1993), 43(11), 1200-3
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

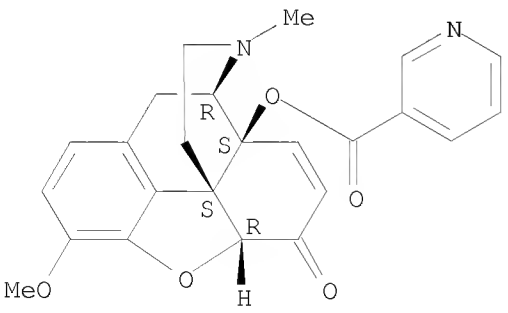
AB The synthesis of morphine nicotinates, e.g. I, is described using nicotinyl chloride in the presence of pyridine. Isomorphine and isocodeine nicotinates were prepared from the corresponding morphine and codeine derivs. with nicotinic acid in the presence of triphenylphosphine and di-Et azodicarboxylate. Unexpectedly the reaction of 14-hydroxydihydromorphinone derivs. was anomalous; enol esters were formed. The analgetic activity of selected compds. was determined

IT 104134-14-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as analgesic)

RN 104134-14-7 CAPLUS

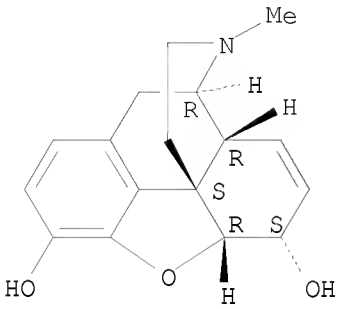
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-[(3-pyridinylcarbonyl)oxy]-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



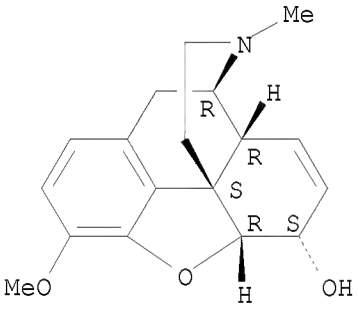
IT 57-27-2, reactions 76-57-3 76-58-4
3371-56-0 4829-46-3 5140-28-3
150843-49-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant, in preparation of nicotinic esters of morphine derivs.)
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



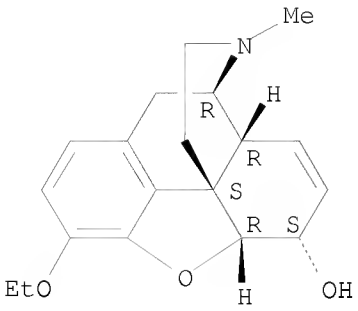
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-,
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



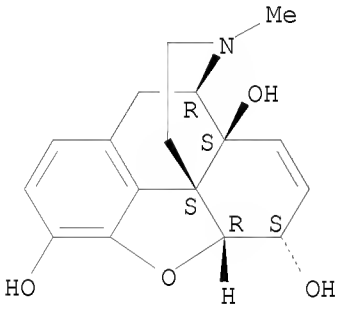
RN 76-58-4 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-ethoxy-17-methyl-,
(5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



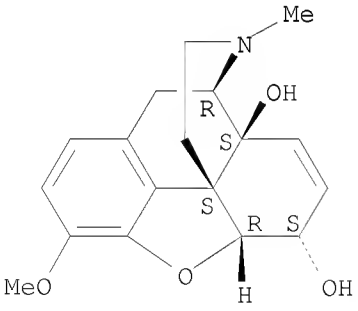
RN 3371-56-0 CAPLUS
CN Morphinan-3,6,14-triol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



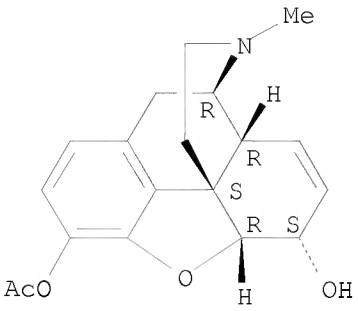
RN 4829-46-3 CAPLUS
CN Morphinan-6,14-diol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



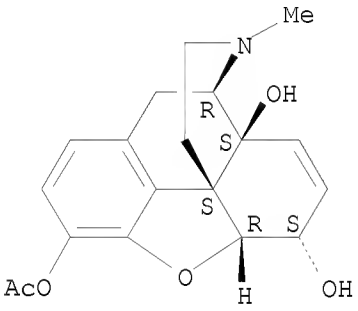
RN 5140-28-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 3-acetate (CA INDEX NAME)

Absolute stereochemistry.



RN 150843-49-5 CAPLUS
CN Morphinan-3,6,14-triol, 7,8-didehydro-4,5-epoxy-17-methyl-, 3-acetate, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L9 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1993:472889 CAPLUS
DOCUMENT NUMBER: 119:72889
ORIGINAL REFERENCE NO.: 119:13153a,13156a
TITLE: Chemistry of opium alkaloids. Part XXXVII. Synthesis and biological activity of new etorphine analogs from 7-chloro-6-demethoxythebaine and 7-chloro-5β-methyl-6-demethoxythebaine
AUTHOR(S): Woudenberg, R. H.; Maat, L.
CORPORATE SOURCE: Lab. Org. Chem. Catal., Delft Univ. Technol., Delft, 2628 BL, Neth.
SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1993), 112(2), 113-22
CODEN: RTCPA3; ISSN: 0165-0513
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:72889
GI

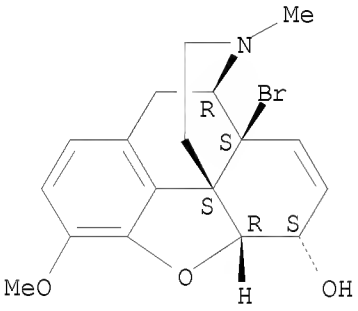
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The Diels-Alder reaction of 7-chloro-6-demethoxythebaine (I) and 7-chloro-5β-methyl-6-demethoxythebaine (II) each with Et acrylate yielded four (14:6:4:1) and three adducts (15:4:1), resp. The main adduct from diene I was the Et 6α, 14α-ethenoisomorphinan-7α-carboxylate III, while diene II afforded the Et 6β, 14β-ethenomorphinan-8β-carboxylate congener IV in which the dienophile has reached from the opposite face. Cycloaddn. of I and II with maleic anhydride, followed by esterification, yielded the 6α,14α-ethenoisomorphinans as the main adducts in both cases. In the case of II a considerable amount (20%) of the 6β,14β-ethenomorphinan was also isolated. The adducts were 3-O-demethylated using boron tribromide to their 3-hydroxy esters. Conversion of these esters into the tertiary alcs. V (R1 = CMe2OH, R2 = H; R1 = H, R2 = CMe2OH) and VI was performed using methylmagnesium bromide. Compared to morphine and etorphine, the compds. exhibit high affinity for all the opiate receptor subtypes with a higher selectivity for the μ-receptor. The outcome of the cycloaddns. is discussed in terms of the electronic influence of the 7-chloro substituent in the presence or absence of the 5β-Me group.

IT 4675-05-2P 148519-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

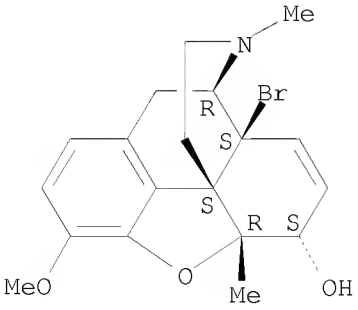
RN 4675-05-2 CAPLUS
CN Morphinan-6-ol, 14-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



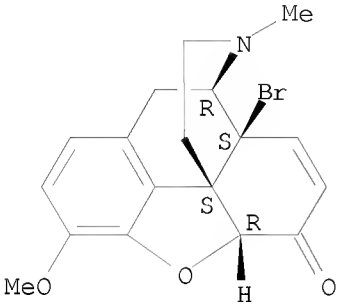
RN 148519-03-3 CAPLUS
CN Morphinan-6-ol, 14-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-5,17-dimethyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



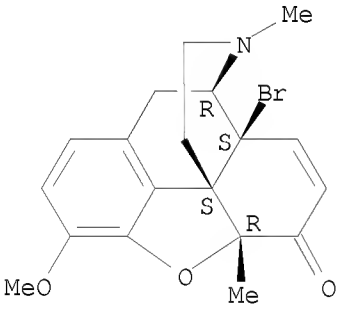
IT 5140-31-8P 148519-02-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 5140-31-8 CAPLUS
CN Morphinan-6-one, 14-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



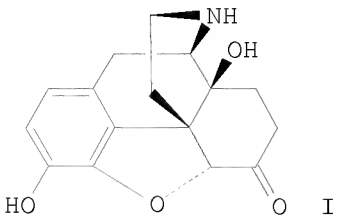
RN 148519-02-2 CAPLUS
CN Morphinan-6-one, 14-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-5,17-dimethyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L9 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1992:634307 CAPLUS
DOCUMENT NUMBER: 117:234307
ORIGINAL REFERENCE NO.: 117:40539a,40542a
TITLE: An improved synthesis of noroxymorphone
AUTHOR(S): Ninan, Aleyamma; Sainsbury, Malcolm
CORPORATE SOURCE: Sch. Chem., Univ. Bath, Bath, BA2 7AY, UK
SOURCE: Tetrahedron (1992), 48(32), 6709-16
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:234307
GI



I

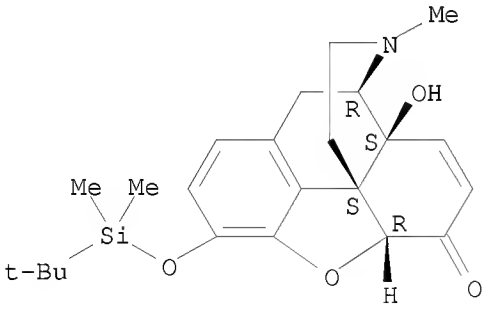
AB A brief synthesis of noroxymorphone (I) is described which involves the oxidation of 3-O-tert-butyldimethylsilylmorphine by manganese dioxide. The initial product is the corresponding morphinone which is further oxidized to the 14-hydroxymorphinone. After hydrogenation the 7,8-dihydro-14-hydroxymorphinone is acetylated and N-demethylation of the 14-O-acetylated product is achieved using vinyl chloroformate as the reagent. The overall yield from morphine is 40-45%.

IT 144152-45-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)

RN 144152-45-4 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,5-epoxy-14-hydroxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

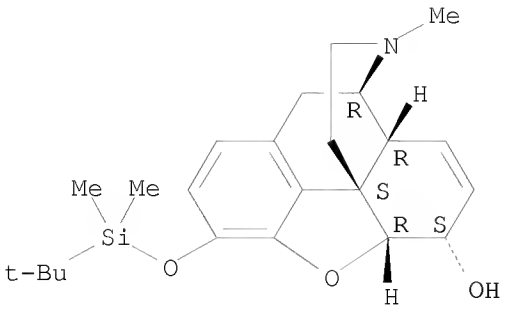


IT 91265-70-2P 91265-75-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

RN 91265-70-2 CAPLUS

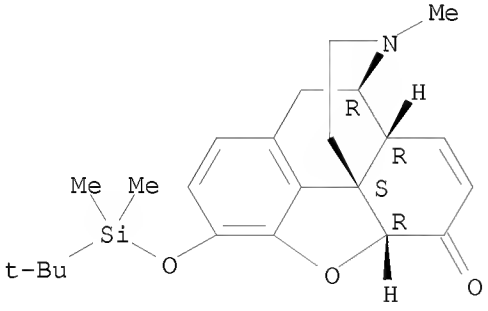
CN Morphinan-6-ol, 7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



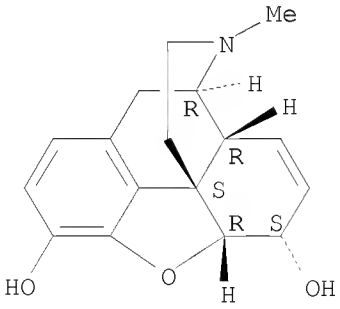
RN 91265-75-7 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,5-epoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 57-27-2, Morphine, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tert-butyldimethylsilyl chloride)
RN 57-27-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-(5α,6α)- (CA INDEX NAME)

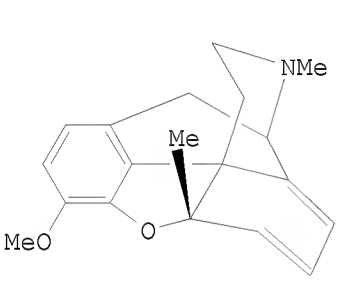
Absolute stereochemistry. Rotation (-).



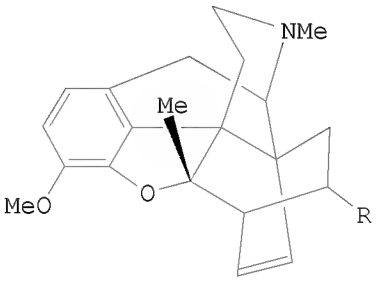
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L9 ANSWER 38 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1992:59680 CAPLUS

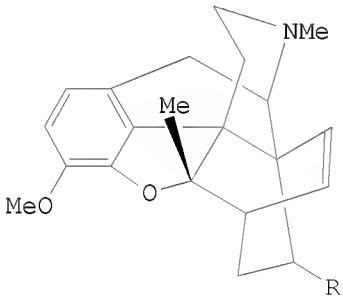
DOCUMENT NUMBER: 116:59680
ORIGINAL REFERENCE NO.: 116:10341a,10344a
TITLE: Chemistry of opium alkaloids. Part XXXV. Synthesis of 5β-methyl-6-demethoxythebaine and its Diels-Alder reaction to 6α,14α-ethenoisomorphinans and 6β,14β-ethenomorphinans
AUTHOR(S): Woudenberg, R. H.; Piet, D. P.; Sinnema, A.; Lie, T. S.; Maat, L.
CORPORATE SOURCE: Dep. Org. Chem., Delft Univ. Technol., Delft, 2628 BL, Neth.
SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1991), 110(10), 405-13
CODEN: RTCPA3; ISSN: 0165-0513
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:59680
GI



I



II

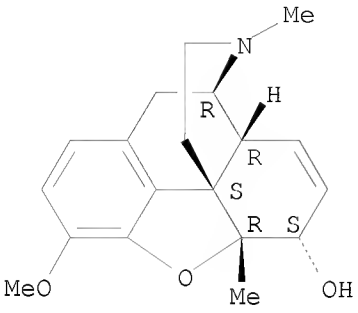


III

AB Diels-Alder reaction of the title compound (I), prepared in 6 steps from 5β-methylthebaine, with Et acrylate yielded two products, Et 6α,14α-ethenoisomorphinan-7α-carboxylate (II, R = CO2Et) and Et 6β,14β-ethenomorphinan-8β-carboxylate (III, R = CO2Et) due to β-face and α-face approach, resp. Similarly, with 3-buten-2-one as the dienophile, a mixture of II and III (R = COMe) was obtained. Diels-Alder reaction of I with maleic anhydride, followed by esterification of the adducts, yielded di-Me 6α,14α-ethenoisomorphinan-7α,8α-dicarboxylate and di-Me 6β,14β-ethenomorphinan-7β,8β-dicarboxylate in a 7:3 ratio. The results of the Diels-Alder reaction are discussed in connection with the substituents in the 5β- and 6-position.
IT 118112-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP

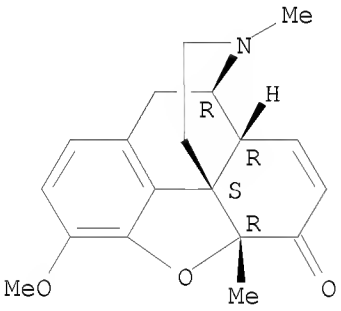
(Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 118112-53-1 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-5,17-dimethyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 118112-52-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 118112-52-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-5,17-dimethyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 39 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1991:529863 CAPLUS
DOCUMENT NUMBER: 115:129863
ORIGINAL REFERENCE NO.: 115:22129a,22132a
TITLE: Heroin and morphine assay with morphine dehydrogenase with/without acetylmorphine carboxylesterase, and isolation of the enzymes from microorganisms
INVENTOR(S): Bruce, Neil Charles; Gray, Stephen Lauran Diana; Lowe, Christopher Robin
PATENT ASSIGNEE(S): National Research Development Corp., UK
SOURCE: Brit. UK Pat. Appl., 47 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

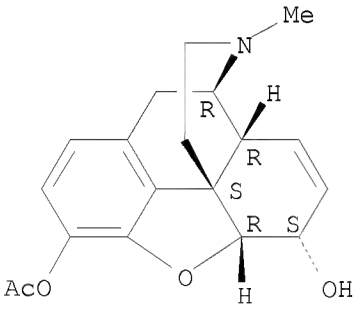
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2231332	A	19901114	GB 1990-10561	19900511
GB 2231332	B	19930421		
CA 2055442	A1	19901113	CA 1990-2055442	19900511
CA 2055442	C	19990615		
HU 59959	A2	19920728	HU 1990-4408	19900511
US 5387515	A	19950207	US 1994-183307	19940119
PRIORITY APPLN. INFO.:			GB 1989-10958 A	19890512
			US 1991-784445 A1	19911112

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 115:129863

AB Acetylmorphine carboxylesterase and morphine dehydrogenase isolated from Rhodococcus and Pseudomonas putida, resp., are used in an enzymic assay of heroin or morphine. Acetylmorphine carboxylesterase degrades heroin to morphine and morphine dehydrogenase oxidizes morphine to morphinone. The enzymes may be incorporated into biosensors for the assay. Colorimetric determination of heroin using the enzymes, Nitro Blue Tetrazolium, and phenazine methosulfate is cited as an example. Chromatog. purification and characteristics of the enzymes are described.

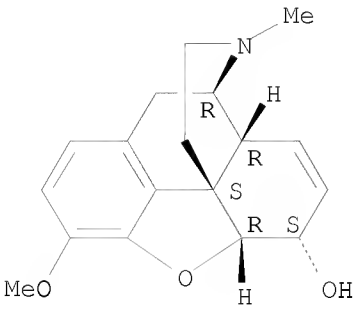
IT 5140-28-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylmorphine carboxylesterase hydrolysis of)
RN 5140-28-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, 3-acetate (CA INDEX NAME)

Absolute stereochemistry.



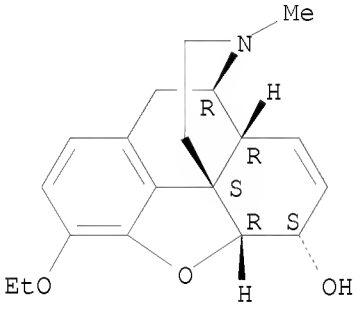
IT 76-57-3 76-58-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(morphine dehydrogenase oxidation of)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



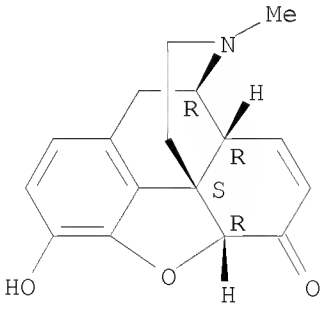
RN 76-58-4 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-ethoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 467-02-7DP, 3-(lower alkyl) ethers
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, with morphine dehydrogenase)
RN 467-02-7 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-, (5α)- (CA INDEX NAME)

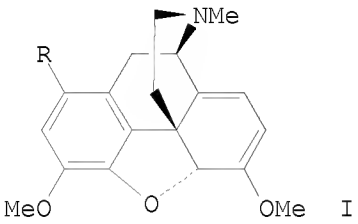
Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L9 ANSWER 40 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:179551 CAPLUS

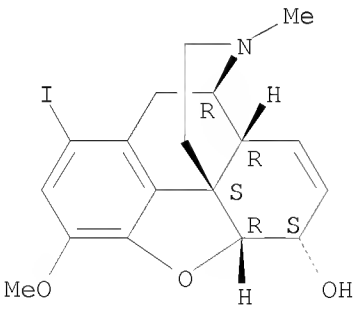
DOCUMENT NUMBER: 112:179551
ORIGINAL REFERENCE NO.: 112:30385a,30388a
TITLE: The synthesis of thebaine-1-3H
AUTHOR(S): Choudhry, Satish C.; Serico, Lucia; Cupano, Joseph; Malarek, David H.; Liebman, Arnold A.
CORPORATE SOURCE: Roche Res. Cent., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1989), 27(12), 1403-8
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:179551
GI



I

AB The title compound (I, R = 3H) with tritium specific activity of 16 Ci/mmole was prepared 1-Iodocodeine was prepared from codeine and converted to 1-iodothebaine (I, R = iodo) in 3 steps. The subsequent key reaction was the selective hydrogenolysis of the C-I bond in I (R = iodo) in the presence of the dienic-enol ether system. Using 10% Pd/C as catalyst, the desired reaction occurs in .apprx.80% yield.
IT 64739-74-8, 1-Iodocodeine
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)
RN 64739-74-8 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-1-iodo-3-methoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

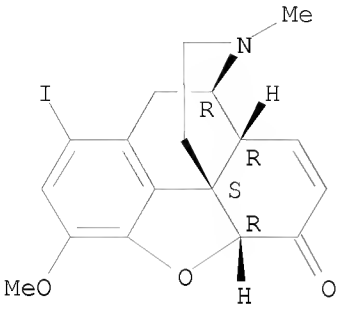
Absolute stereochemistry.



IT 126412-17-7P, 1-Iodocodeinone
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to iodothebaine)
RN 126412-17-7 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-1-iodo-3-methoxy-17-methyl-,

(5α)- (9CI) (CA INDEX NAME)

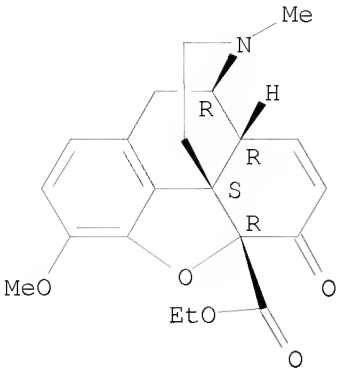
Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

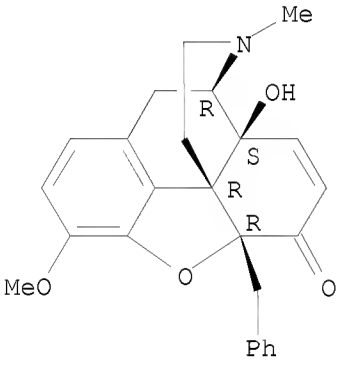
L9 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1989:95583 CAPLUS
DOCUMENT NUMBER: 110:95583
ORIGINAL REFERENCE NO.: 110:15811a,15814a
TITLE: Derivatives of the thebaine anion. 2. 5-Methylmorphine, 5-methylcodeine, 5-methylheroin and some related compounds
AUTHOR(S): Gates, Marshall; Boden, Richard M.; Sundararaman, P.
CORPORATE SOURCE: Dep. Chem., Univ. Rochester, Rochester, NY, 14627, USA
SOURCE: Journal of Organic Chemistry (1989), 54(4), 972-4
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:95583
AB Reduction of 5-methylcodeinone with NaBH4 gave 81% 5-methylcodeine which was treated with sodium ethanethiolate to give 90% 5-methylmorphine. Acetylation of the latter compound gave 84.4% 5-methylheroin. Antinociceptive activity of the title compds. were determined
IT 118112-57-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 118112-57-5 CAPLUS
CN Morphinan-5-carboxylic acid, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-6-oxo-, ethyl ester, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



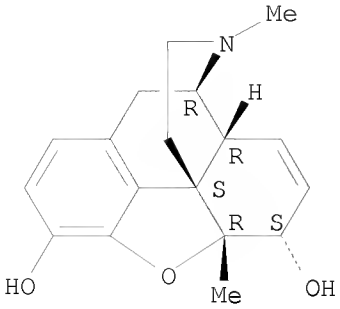
IT 118112-55-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 118112-55-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-5-(phenylmethyl)-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



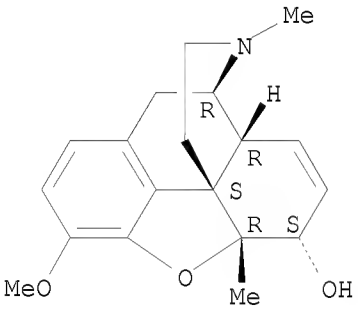
IT 118142-13-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, acetylation, and analgesic activity of)
RN 118142-13-5 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-5,17-dimethyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



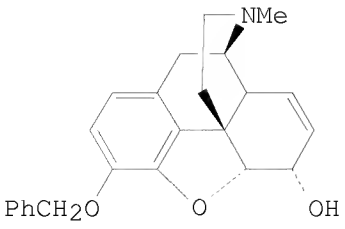
IT 118112-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, demethylation, and analgesic activity of)
RN 118112-53-1 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-5,17-dimethyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

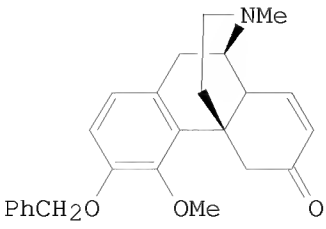


OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

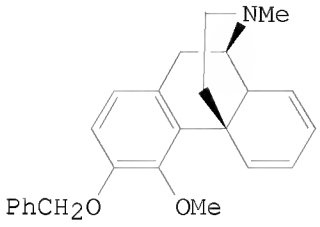
L9 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:598712 CAPLUS
DOCUMENT NUMBER: 107:198712
ORIGINAL REFERENCE NO.: 107:31895a,31898a
TITLE: Chemistry of opium alkaloids. Part XXII. Synthesis of 3-alkoxy-7,8-didehydro-4-hydroxy-N-methylmorphinan-6-ones from morphine. Intermediates for novel A- and C-ring-functionalized morphinans
AUTHOR(S): Brands, K. M. J.; Lie, T. S.; Maat, L.
CORPORATE SOURCE: Lab. Org. Chem., Delft Univ. Technol., Delft, 2628 BL, Neth.
SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1986), 105(12), 544-8
CODEN: RTCPA3; ISSN: 0165-0513
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:198712
GI



I



II



III

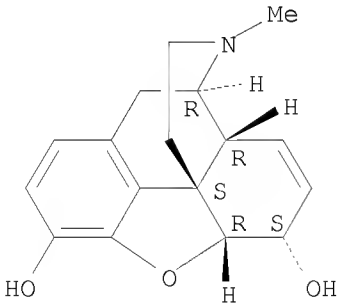
AB Cesium-assisted benzylation of morphine gave 3-O-benzylmorphine (I) in high yield, leading to a convenient synthesis of 3-benzyloxy-7,8-didehydro-4-methoxy-N-methylmorphinan-6-one (II). This was accomplished by Oppenauer oxidation of I, followed by 4,5α-epoxy ring opening and methylation, resp. The key step in this sequence, i.e., the reductive epoxy ring opening with retention of the 7,8-double bond, could also be applied to codeinone giving quant. thebainone-A. The morphinan-5,7-diene III was obtained from II via the p-toluenesulfonylhydrazone. Morphinan-6,8-dienes are accessible from II using known procedures.

IT 52-26-6, Morphine hydrochloride 57-27-2, Morphine, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylation of)

RN 52-26-6 CAPLUS

CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

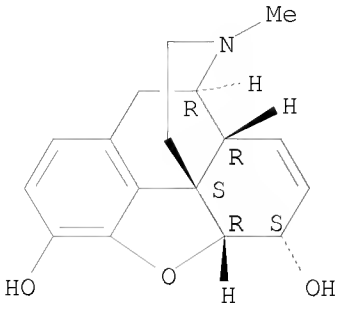


● HCl

RN 57-27-2 CAPLUS

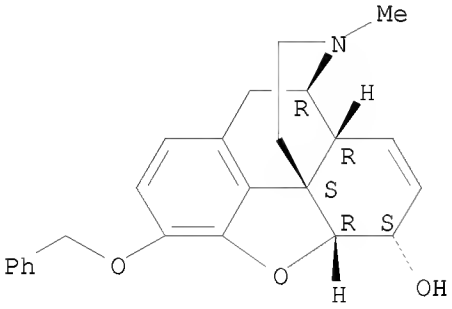
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



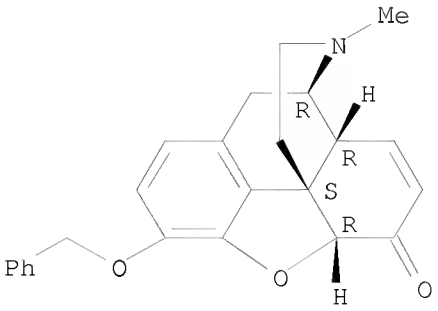
IT 14297-87-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 14297-87-1 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-17-methyl-3-(phenylmethoxy)-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



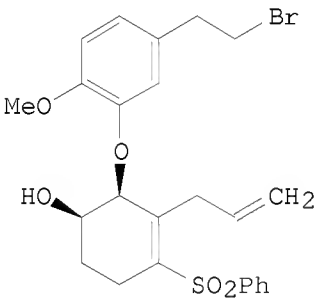
IT 32537-69-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring cleavage of)
RN 32537-69-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-17-methyl-3-(phenylmethoxy)-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

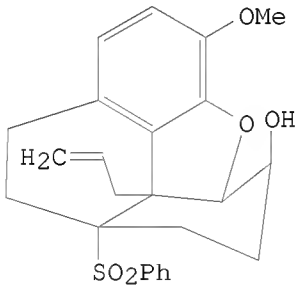


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:50522 CAPLUS
DOCUMENT NUMBER: 106:50522
ORIGINAL REFERENCE NO.: 106:8379a,8382a
TITLE: Synthesis via vinyl sulfones. 21. Total synthesis of dl-morphine
AUTHOR(S): Toth, J. E.; Fuchs, P. L.
CORPORATE SOURCE: Dep. Chem., Purdue Univ., West Lafayette, IN, 47907, USA
SOURCE: Journal of Organic Chemistry (1987), 52(3), 473-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:50522
GI



I



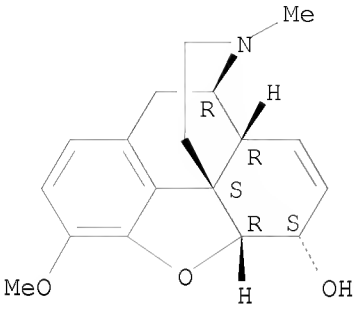
II

AB Racemic morphine was synthesized in 1.1% yield from 2-allylcyclohexane-1,3-dione and isovanillin. The tetracyclic ring system was constructed by tandem intramol. conjugate addition of an aryl lithium prepared by metal-halogen exchange of the (bromophenoxy)vinyl sulfone I to the vinyl sulfone moiety followed by intramol. alkylation to produce 9b-allylphenanthrofuran II. The intramol. 1,6-Michael addition of an amine to a dienone formed the piperidine ring.

IT 70982-46-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)
RN 70982-46-6 CAPLUS

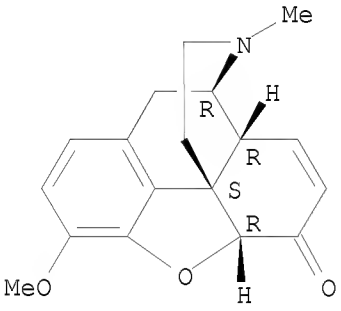
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)-(±)- (CA INDEX NAME)

Relative stereochemistry.



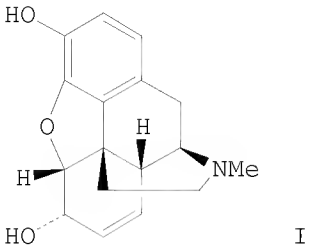
IT 105815-00-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in total synthesis of morphine)
RN 105815-00-7 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)-(±)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L9 ANSWER 44 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1985:100677 CAPLUS
DOCUMENT NUMBER: 102:100677
ORIGINAL REFERENCE NO.: 102:15737a,15740a
TITLE: Problem of stabilization of morphine solutions with bisulfite
AUTHOR(S): Fleischhacker, W.; Mueller-Uri, C.
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Wien, Vienna, A-1080, Austria
SOURCE: Pharmazie (1984), 39(7), 475-8
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I

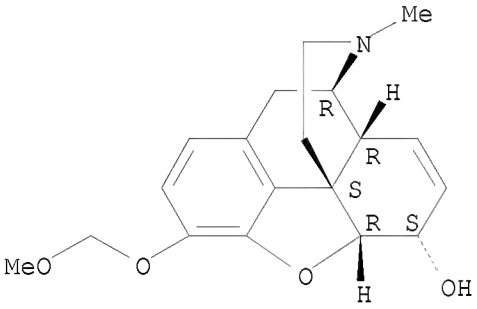
AB Compds. formed in the aging of morphine (I) [57-27-2] solns. stabilized with NaHSO3 were identified as 7,8-dihydromorphinone-8-sulfonic acid [33483-63-5], 7,8-dihydromorphine-8-sulfonic acid [55935-03-0], and 7,8-dihydromorphine-7-sulfinic-8-sulfonic acid [95034-27-8].

IT 15041-97-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)

RN 15041-97-1 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-(methoxymethoxy)-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

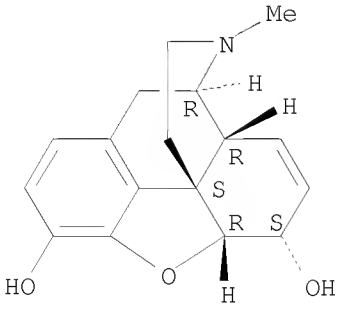


IT 57-27-2, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of, in bisulfite-stabilized solns.)

RN 57-27-2 CAPLUS

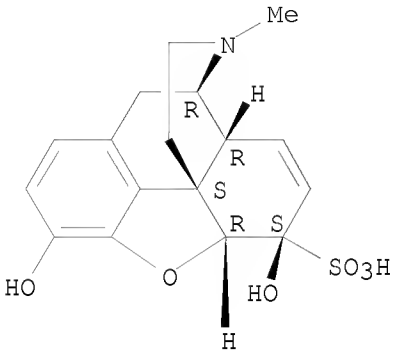
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



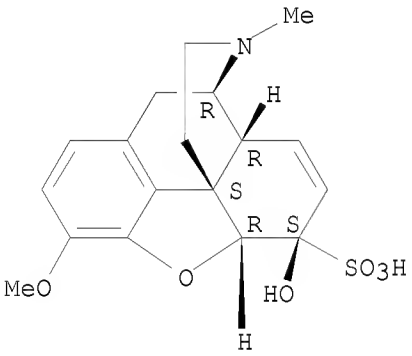
IT 95034-28-9P 95034-29-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and rearrangement of)
RN 95034-28-9 CAPLUS
CN Morphinan-6-sulfonic acid, 7,8-didehydro-4,5-epoxy-3,6-dihydroxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



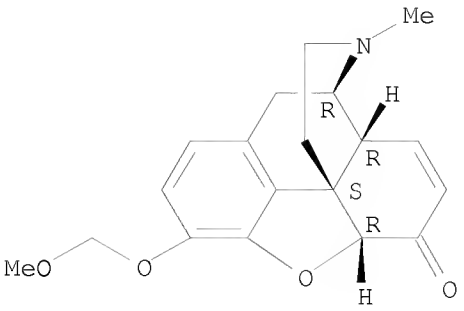
RN 95034-29-0 CAPLUS
CN Morphinan-6-sulfonic acid, 7,8-didehydro-4,5-epoxy-6-hydroxy-3-methoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



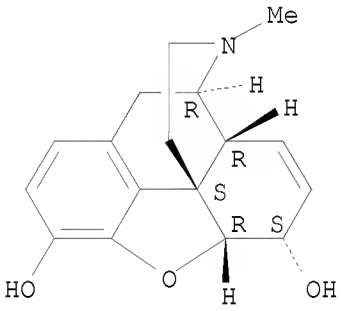
IT 77632-93-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 77632-93-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-(methoxymethoxy)-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 52-26-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with sodium metabisulfite)
RN 52-26-6 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-
(5α,6α)-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

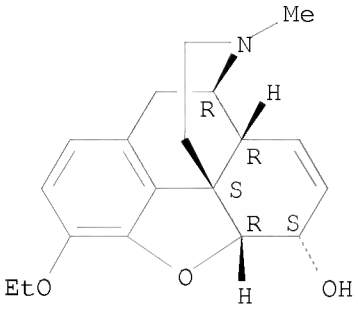


● HCl

L9 ANSWER 45 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1984:630812 CAPLUS
DOCUMENT NUMBER: 101:230812
ORIGINAL REFERENCE NO.: 101:35061a,35064a
TITLE: Oxidation and radiolabeling of ethylmorphine
AUTHOR(S): Yost, Yul; Holtzman, Jordan L.
CORPORATE SOURCE: Veterans Adm. Med. Cent., Minneapolis, MN, 55417, USA
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1984), 21(7), 689-92
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Ethylmorphine-6-3H (I) was prepared by oxidation of ethylmorphine with MnO2 to ethylmorphinone which was reduced with NaB3H4.
IT 76-58-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)
RN 76-58-4 CAPLUS

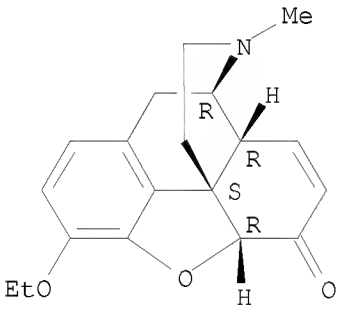
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-ethoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

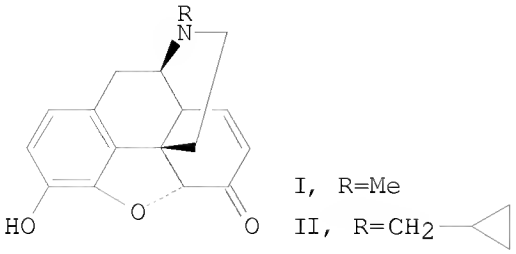


IT 93290-69-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction-tritiation of)
RN 93290-69-8 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-ethoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 46 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1984:522837 CAPLUS
DOCUMENT NUMBER: 101:122837
ORIGINAL REFERENCE NO.: 101:18555a,18558a
TITLE: Activities of morphinone and N-(cyclopropylmethyl)normorphinone at opioid receptors
AUTHOR(S): Fang, Sunan; Takemori, A. E.; Portoghese, P. S.
CORPORATE SOURCE: Coll. Pharm., Univ. Minnesota, Minneapolis, MN, 55455, USA
SOURCE: Journal of Medicinal Chemistry (1984), 27(10), 1361-3
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, R=Me

II, R=CH_2

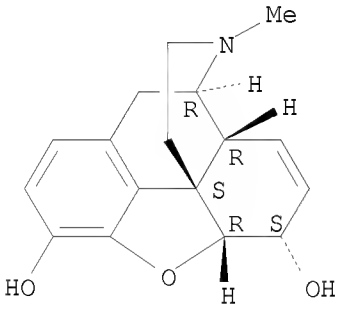
AB Morphinone (I) [467-02-7] and N-(cyclopropylmethyl)normorphinone (II) [91265-68-8] were prepared and evaluated in vitro for opioid agonism and antagonism using guinea pig ileal longitudinal muscle and mouse vas deferens, and in vivo after intracerebroventricular administration in mice. I behaved as an agonist and II as an antagonist in vitro and in vivo. Nonequil. agonist or antagonist activity was not observed with either compound

IT 57-27-2, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(demethylation of)

RN 57-27-2 CAPLUS

CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

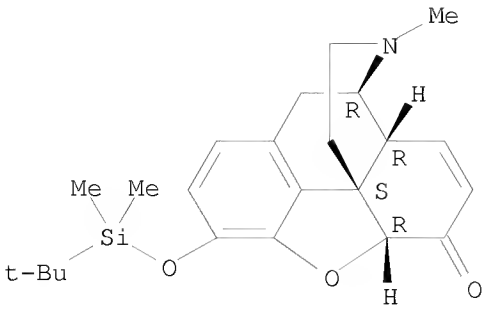


IT 91265-75-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

RN 91265-75-7 CAPLUS

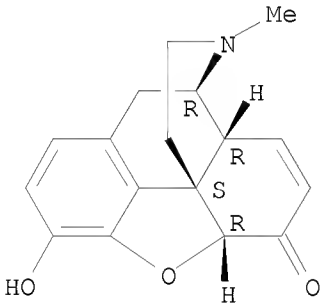
CN Morphinan-6-one, 7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,5-epoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



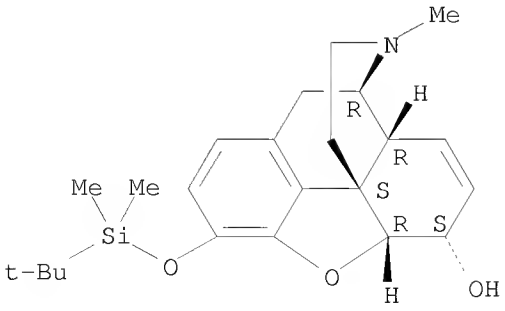
IT 467-02-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and opioid receptor agonist-antagonist activity of)
RN 467-02-7 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-, (5α)-
(CA INDEX NAME)

Absolute stereochemistry.



IT 91265-70-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 91265-70-2 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-
4,5-epoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

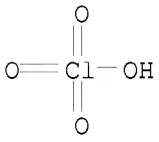


IT 91265-67-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 91265-67-7 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-, (5α)-, perchlorate (salt) (9CI) (CA INDEX NAME)

CM 1

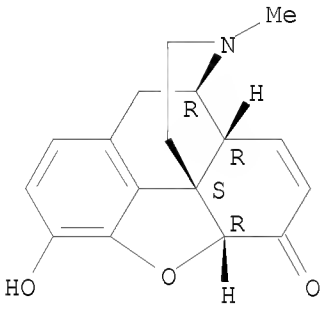
CRN 7601-90-3
CMF Cl H O4



CM 2

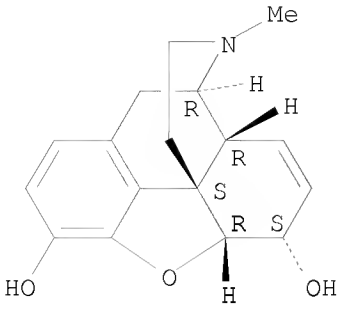
CRN 467-02-7
CMF C17 H17 N O3

Absolute stereochemistry.



IT 50291-32-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(silylation of)
RN 50291-32-2 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

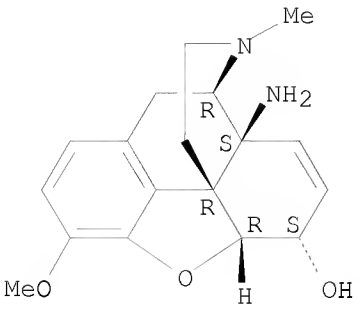


● Na

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

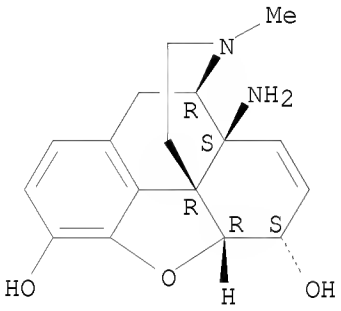
L9 ANSWER 47 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1983:595259 CAPLUS
DOCUMENT NUMBER: 99:195259
ORIGINAL REFERENCE NO.: 99:30067a,30070a
TITLE: 14β-(2-bromoacetamido)morphine and 14β-(2-bromoacetamido)morphinone
AUTHOR(S): Archer, Sydney; Seyed-Mozaffari, Ahmad; Osei-Gyimah, Peter; Bidlack, Jean M.; Abood, Leo G.
CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY, 12181, USA
SOURCE: Journal of Medicinal Chemistry (1983), 26(12), 1775-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 14β-(2-Bromoacetamido)morphine (I) and 14β-(2-bromacetamido)morphinone II were prepared preferably from the adduct of thebaine and 1-chloro-1-nitrosocyclohexane which on reduction in MeOH solution gave 14-aminocodeinone (III) and the corresponding ketal IV. When tested in a receptor-binding assay, the IC50 values of I and II were 15 nM and 10 nM, resp. If the incubation time during the assay was increased from 15 min to 30 min, irreversible binding of both ligands was observed
IT 68616-04-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)
RN 68616-04-6 CAPLUS
CN Morphinan-6-ol, 14-amino-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



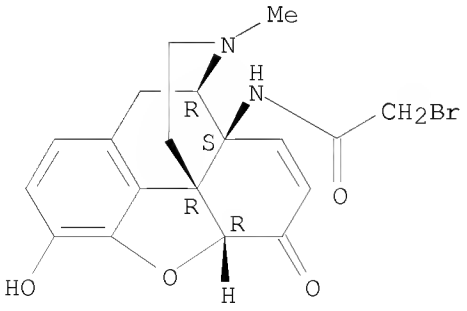
IT 87307-34-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with bromoacetyl bromide)
RN 87307-34-4 CAPLUS
CN Morphinan-3,6-diol, 14-amino-7,8-didehydro-4,5-epoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 87307-37-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and receptor-binding activity of)
RN 87307-37-7 CAPLUS
CN Acetamide, 2-bromo-N-[(5α)-7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-6-oxomorphinan-14-yl]- (9CI) (CA INDEX NAME)

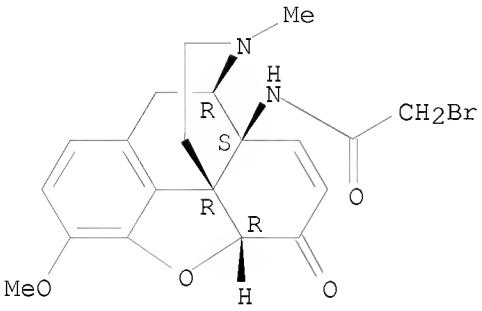
Absolute stereochemistry.



IT 87307-38-8P

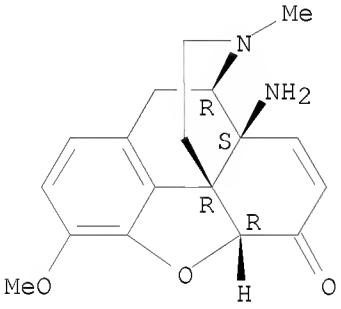
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87307-38-8 CAPLUS
CN Acetamide, 2-bromo-N-[(5α)-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-6-oxomorphinan-14-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 68615-94-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, reduction, and hydrogenation of)
RN 68615-94-1 CAPLUS
CN Morphinan-6-one, 14-amino-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L9 ANSWER 48 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1983:576109 CAPLUS
DOCUMENT NUMBER: 99:176109
ORIGINAL REFERENCE NO.: 99:27033a,27036a
TITLE: Biomimetic total synthesis of (-)-codeine
AUTHOR(S): White, James D.; Caravatti, Giorgio; Kline, Toni B.; Edstrom, Eric; Rice, Kenner C.; Brossi, Arnold
CORPORATE SOURCE: Dep. Chem., Oregon State Univ., Corvallis, OR, 97331, USA
SOURCE: Tetrahedron (1983), 39(14), 2393-7
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

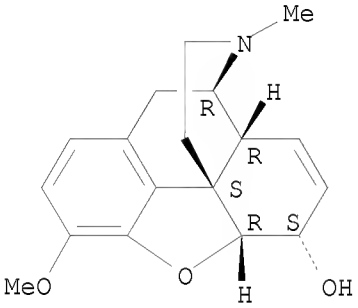
AB The opium alkaloid (-)-codeine (I) was synthesized in 8 steps from (±)-N-norreticuline. R-(-)-Norreticuline (II), obtained by resolution, was converted to (R)-N-(trifluoroacetyl)-6'-bromonorreticuline and the latter was subjected to phenolic oxidative coupling with a variety of aryliodoso complexes in CH2Cl2. N-(Trifluoroacetyl)-1-bromonorsalutaridine (III) prepared by this means was transformed to 1-bromosalutaridinol (as a mixture of epimers), and the latter were dehydrated sep. to 1-bromothebaine with DMF dineopentyl acetal. Hydrolysis to 1-bromocodeinone, followed by reductive removal of Br with LiAlH4 afforded I.

IT 76-57-3P
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(biomimetic total synthesis of)

RN 76-57-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.

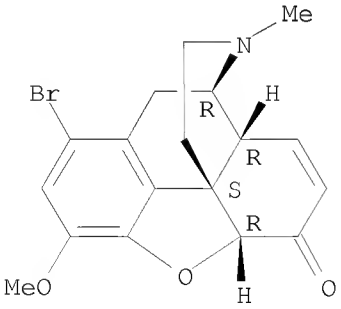


IT 58390-33-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 58390-33-3 CAPLUS

CN Morphinan-6-one, 1-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

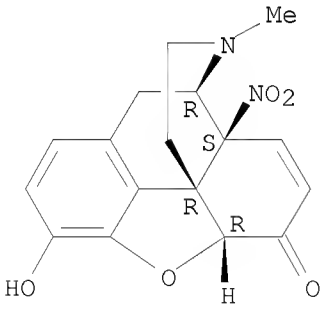
Absolute stereochemistry.



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)

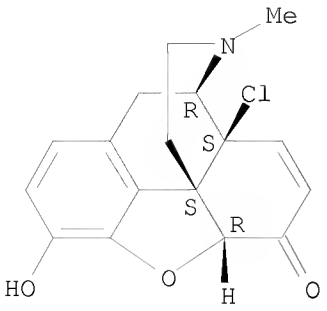
L9 ANSWER 49 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1981:425353 CAPLUS
DOCUMENT NUMBER: 95:25353
ORIGINAL REFERENCE NO.: 95:4431a,4434a
TITLE: The synthesis and agonist activity of some 14β-substituted morphine and codeine derivatives
AUTHOR(S): Osei-Gyimah, Peter; Archer, Sydney
CORPORATE SOURCE: Chem. Dep., Rensselaer Polytech. Inst., Troy, NY, 12181, USA
SOURCE: Endog. Exog. Opiate Agonists Antagonists, Proc. Int. Narc. Res. Club Conf. (1980), Meeting Date 1979, 13-16. Editor(s): Way, E. Leong. Pergamon: Elmsford, N. Y.
CODEN: 45EWA5
DOCUMENT TYPE: Conference
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Thebaine was converted to various 14β-functionally substituted analogs of morphine and codeine; namely, bromo, chloro, nitro, amino, and arylamino, thiocyanato, and mercapto derivs. Thus, thebaine was chlorinated with N-chlorosuccinimide to give 14β-chlorocodeinone I (R = Me), which was demethylated to give I (R = H). The analgesic activity of I (R = H) relative to normorphine was 0.9.
IT 68617-48-1P 72265-71-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and analgesic activity of)
RN 68617-48-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-14-nitro-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



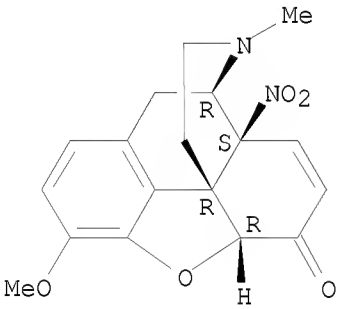
RN 72265-71-5 CAPLUS
CN Morphinan-6-one, 14-chloro-7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



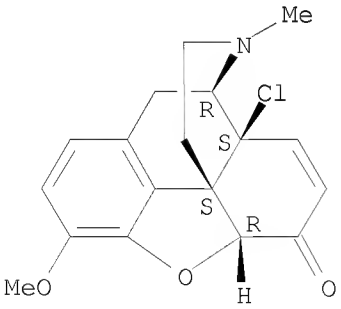
IT 29944-27-2P 65907-10-0P 72265-70-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)
RN 29944-27-2 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-nitro-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



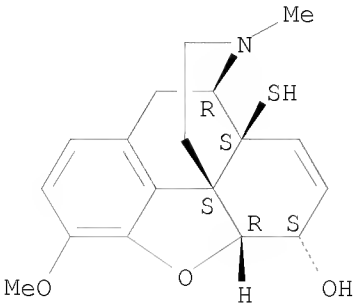
RN 65907-10-0 CAPLUS
CN Morphinan-6-one, 14-chloro-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



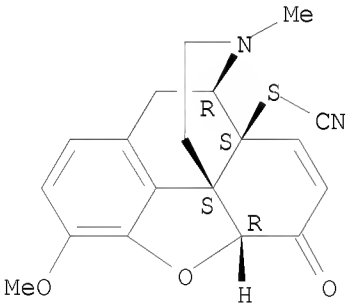
RN 72265-70-4 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-14-mercapto-3-methoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



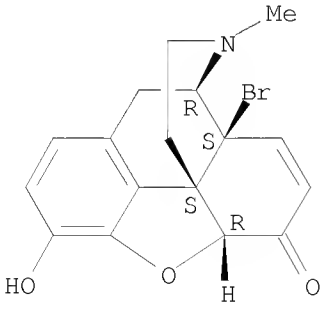
IT 72265-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 72265-69-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-thiocyanato-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



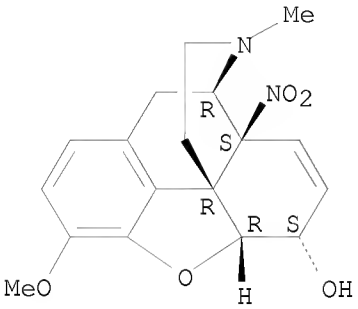
IT 72265-72-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72265-72-6 CAPLUS
CN Morphinan-6-one, 14-bromo-7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

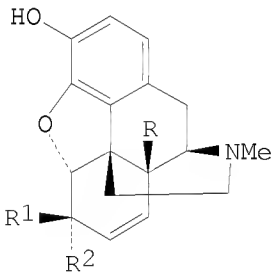


IT 72265-67-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)
RN 72265-67-9 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-nitro-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 50 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1980:69339 CAPLUS
DOCUMENT NUMBER: 92:69339
ORIGINAL REFERENCE NO.: 92:11296h,11297a
TITLE: Synthesis and analgesic activity of some 14β-substituted analogs of morphine
AUTHOR(S): Osei-Gyimah, Peter; Archer, Sydney
CORPORATE SOURCE: Chem. Dep., Rensselaer Polytech. Inst., Troy, NY, 12181, USA
SOURCE: Journal of Medicinal Chemistry (1980), 23(2), 162-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



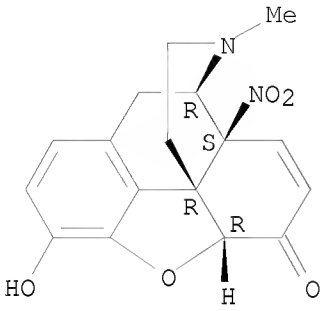
I

AB The synthesis and analgesic activity of some title compds. I (R = NO2, NHAc, SH,Cl, Br, or OH; R1R2 = O or R1 = H and R2 = OAc or OH) in guinea pig ileum are described. With the exception of 14β-nitromorphinone [72265-72-6], which was weak in activity, all others I were approx. equal in potency to normorphine in the preparation
IT 68617-48-1P 72265-71-5P 72265-72-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic activity of)

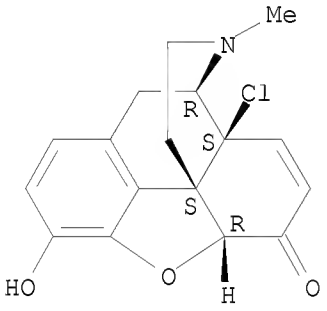
RN 68617-48-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-14-nitro-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



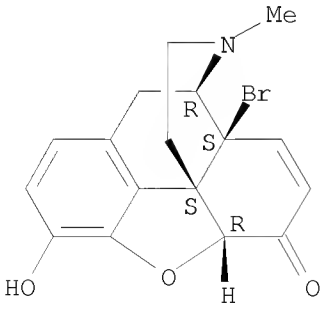
RN 72265-71-5 CAPLUS
CN Morphinan-6-one, 14-chloro-7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 72265-72-6 CAPLUS
CN Morphinan-6-one, 14-bromo-7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

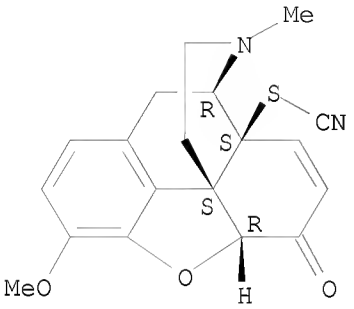
Absolute stereochemistry.



IT 72265-69-1P

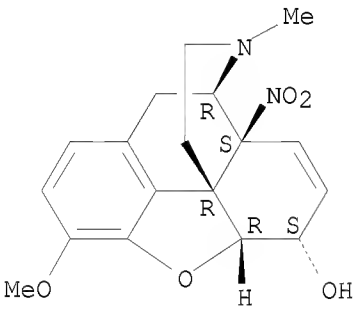
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and reaction with methanol)
RN 72265-69-1 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-thiocyanato-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



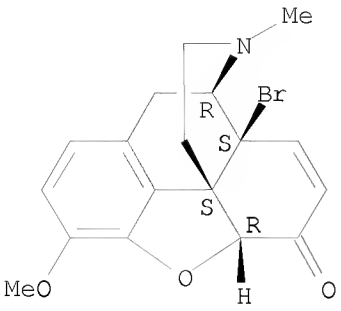
IT 72265-67-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 72265-67-9 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-nitro-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



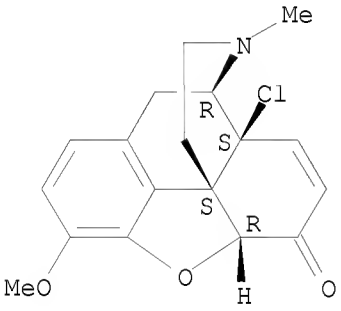
IT 5140-31-8P 65907-10-0P 72265-70-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and O-demethylation of)
RN 5140-31-8 CAPLUS
CN Morphinan-6-one, 14-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



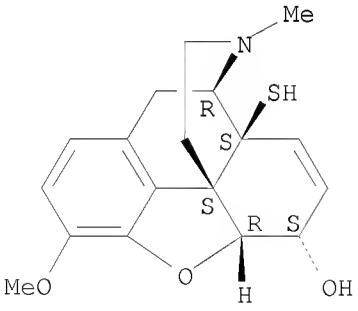
RN 65907-10-0 CAPLUS
CN Morphinan-6-one, 14-chloro-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 72265-70-4 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-14-mercapto-3-methoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L9 ANSWER 51 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1979:204317 CAPLUS
DOCUMENT NUMBER: 90:204317
ORIGINAL REFERENCE NO.: 90:32517a,32520a
TITLE: Chemical modification of morphinan alkaloids. I.

	Oxidation of codeine and its derivatives by active manganese dioxide. 1
AUTHOR(S):	Matsui, Matao; Saionji, Yuko
CORPORATE SOURCE:	Dep. Gen. Chem., Daiichi Coll. Pharm. Sci., Fukuoka, Japan
SOURCE:	Daiichi Yakka Daigaku Kenkyu Nenpo (1978), 9, 11-19 CODEN: DYDNDM; ISSN: 0286-8016
DOCUMENT TYPE:	Journal
LANGUAGE:	Japanese

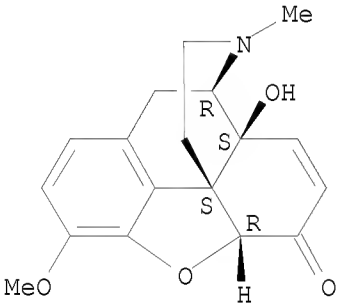
AB Codeine and codeinone were hydroxylated by active MnO_2 to give 14-hydroxycodeinone (I), whereas acetylcodeine, dihydrocodeine, and acetyldihydrocodeine were not hydroxylated. Thus, the α,β-unsatd. ketone moiety is essential for hydroxylation. Codeine is first oxidized to codeinone, which is then hydroxylated.

IT 508-54-3P
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, by hydroxylation of codeinone)

RN 508-54-3 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.

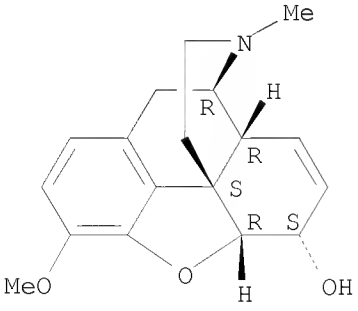


IT 76-57-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of, by manganese dioxide)

RN 76-57-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



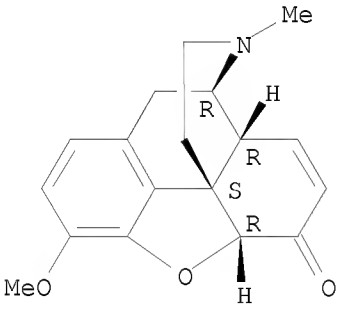
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 52 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1979:186840 CAPLUS
DOCUMENT NUMBER: 90:186840
ORIGINAL REFERENCE NO.: 90:29689a,29692a
TITLE: Facile synthesis of codeine from thebaine
AUTHOR(S): Dauben, William G.; Baskin, Craig P.; Van Riel, Herman C. H. A.
CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, USA
SOURCE: Journal of Organic Chemistry (1979), 44(9), 1567-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Thebaine, upon Hg(OAc)2-catalyzed hydrolysis in HCO2H, followed by conversion of the reaction mixture to codeinone which, in turn, was reduced with NaBH4, was converted to codeine in 71% yield. Thebaine was also converted in 78% yield to neopinone di-Me ketal by direct irradiation in MeOH.

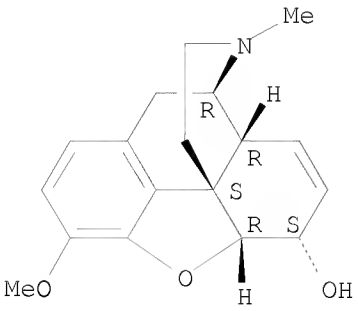
IT 467-13-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 467-13-0 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 76-57-3P
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of, from thebaine)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

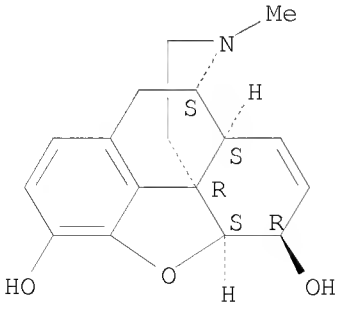
Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

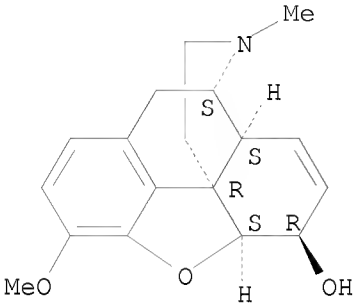
L9 ANSWER 53 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1978:152816 CAPLUS
DOCUMENT NUMBER: 88:152816
ORIGINAL REFERENCE NO.: 88:24093a,24096a
TITLE: Studies in the (+)-morphinan series. 4. A markedly improved synthesis of (+)-morphine
AUTHOR(S): Iijima, Ikuo; Minamikawa, Junichi; Jacobson, Arthur E.; Jacobson, Arthur E.; Rice, Kenner C.
CORPORATE SOURCE: Natl. Inst. Arthritis, Metab. Dig. Dis., NIH, Bethesda, MD, USA
SOURCE: Journal of Organic Chemistry (1978), 43(7), 1462-3
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB (-)-Sinomenine was used to prepare (+)-morphine by methods which increased overall yield tenfold over the best reported preparation Improved cyclization of dihydrosinomenine to dihydrocodeinone, Rapoport's (1976) findings in the (-)-series, and BBr3 O-demethylation of (+)-codeine gave (+)-morphine in 28% overall yield. Acetylation of (+)-morphine gave (+)-heroin. The configuration at C-7 of the two isolated dihydrosinomenines was assigned by NMR.
IT 65165-99-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)
RN 65165-99-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-, (5β,6β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry.



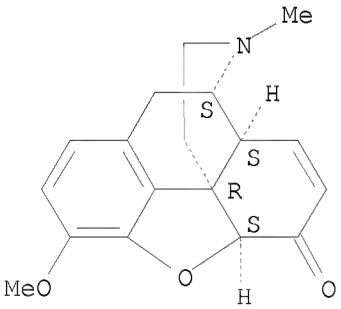
IT 64520-25-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)
RN 64520-25-8 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5β,6β,9α,13α,14α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 65494-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydride reduction of)
RN 65494-91-9 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5β,9α,13α,14α)- (CA INDEX NAME)

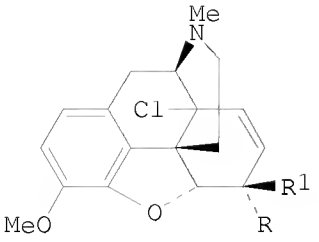
Absolute stereochemistry.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

L9 ANSWER 54 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1978:121484 CAPLUS
DOCUMENT NUMBER: 88:121484
ORIGINAL REFERENCE NO.: 88:19081a,19084a
TITLE: A new efficient method for the preparation of neopine
AUTHOR(S): Makleit, Sandor; Berenyi, Sandor; Bognar, Rezso
CORPORATE SOURCE: Szerves Kem. Tansz., Kossuth Lajos Tudomanyegy., Debrecen, Hung.
SOURCE: Magyar Kemiai Folyoirat (1977), 83(10), 478-9
CODEN: MGKFA3; ISSN: 0025-0155
DOCUMENT TYPE: Journal

LANGUAGE: Hungarian
GI



I, RR^1=O
II, R=OH, R^1=H

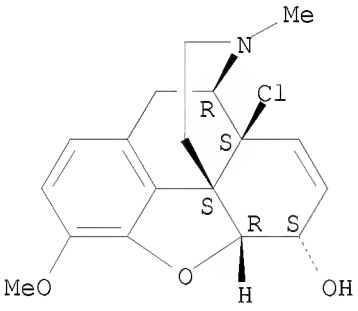
AB Chlorination of thebaine gave I, which underwent $NaBH_4$ reduction to give II. Reduction of II via $NaAlH(CH_2CH_2OMe)_2$ gave neopine in an overall yield of 72%.

IT 65907-11-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydride reduction of)

RN 65907-11-1 CAPLUS

CN Morphinan-6-ol, 14-chloro-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

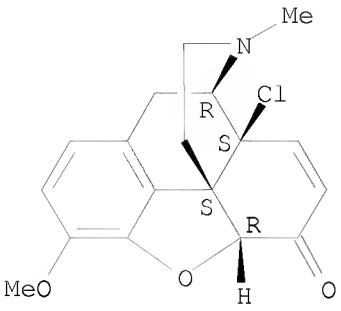


IT 65907-10-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sodium borohydride reduction of)

RN 65907-10-0 CAPLUS

CN Morphinan-6-one, 14-chloro-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

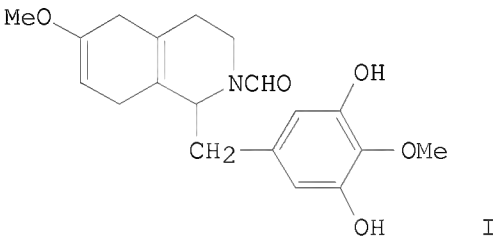
Absolute stereochemistry.



L9 ANSWER 55 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1977:423585 CAPLUS
DOCUMENT NUMBER: 87:23585
ORIGINAL REFERENCE NO.: 87:3745a,3748a
TITLE: Alkaloids
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Neth. Appl., 27 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7501214	A	19760803	NL 1975-1214	19750201

PRIORITY APPLN. INFO.: NL 1975-1214 19750201
GI



I

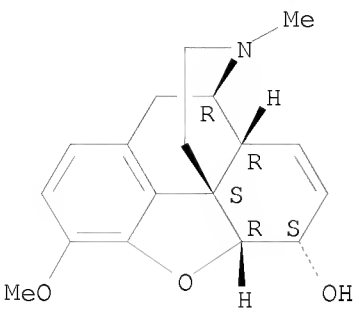
AB Codeine was prepared from 4,3,5-MeO(PhCH2O)2C6H2CH2CO2H and 3-MeOC6H4CH2CH2NH2 in 12 steps via the hexahydroisoquinoline I and dihydrothebainone. The codeine was hydrogenated over Pd-C to give dihydrocodeine bitartrate, which was also prepared by hydrogenating dihydrocodeinone. The latter was obtained by brominating dihydrothebainone and hydogenating 1-bromodihydrocodeinone.

IT 76-57-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)

RN 76-57-3 CAPLUS

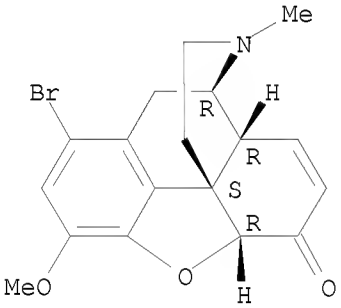
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 58390-33-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 58390-33-3 CAPLUS
CN Morphinan-6-one, 1-bromo-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

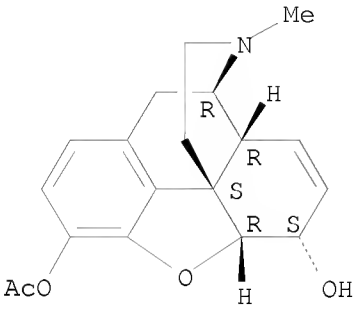
Absolute stereochemistry.



L9 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1974:563545 CAPLUS
DOCUMENT NUMBER: 81:163545
ORIGINAL REFERENCE NO.: 81:25219a,25222a
TITLE: Preparation of morphine-6-3H and its isotopic stability in man and in rat
AUTHOR(S): Fishman, Jack; Norton, Baiba; Cotter, Mary L.; Hahn, Elliot F.
CORPORATE SOURCE: Inst. Steroid Res., Montefiore Hosp. Med. Cent., Bronx, NY, USA
SOURCE: Journal of Medicinal Chemistry (1974), 17(7), 778-81
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Morphine-6-3H (I) [53034-62-1] was prepared from morphine 3-acetate [5140-28-3] by oxidation with MnO2 to morphinone acetate [32808-04-1] followed by reduction with NaBH4-3H and hydrolysis. I was found biol. stable by in vitro and in vivo tests, and did not show selective isotope loss under vigorous acid autoclave conditions. The urinary excretion of I after i.v. and i.m. injection in man was described.
IT 5140-28-3P

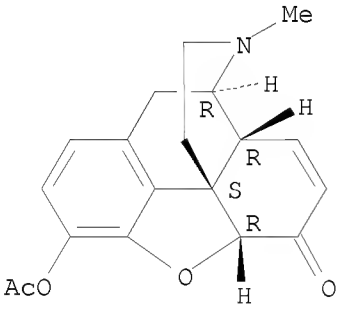
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 5140-28-3 CAPLUS
CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-(5α,6α)-, 3-acetate (CA INDEX NAME)

Absolute stereochemistry.



IT 32808-04-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 32808-04-1 CAPLUS
CN Morphinan-6-one, 3-(acetyloxy)-7,8-didehydro-4,5-epoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1974:83353 CAPLUS
DOCUMENT NUMBER: 80:83353
ORIGINAL REFERENCE NO.: 80:13421a,13424a
TITLE: Synthesis and reactions of the Diels-Alder adduct of thebaine with 4-phenyl-1,2,4-triazoline-3,5-dione
AUTHOR(S): Giger, R.; Rubinstein, R.; Ginsburg, D.
CORPORATE SOURCE: Dep. Chem., Isr. Inst. Technol., Haifa, Israel
SOURCE: Tetrahedron (1973), 29(16), 2387-91
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

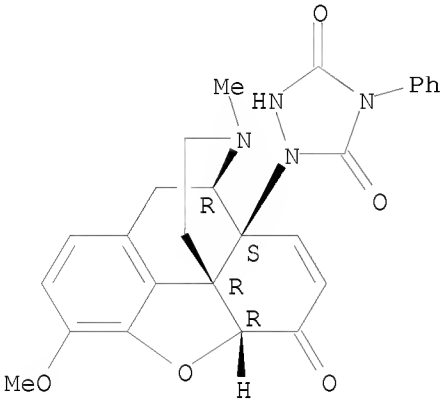
AB Thebaine reacted with 4-phenyl-1,2,4-triazoline-3,5-dione in Me2CO to give 89% adduct (I). HCl rearranged I to the hydrochloride of betaine (II, R = H). II (R = H) readily ring-closed to ketone (III). In MeOH thebaine and codeine reacted with (NC)2C:C(CN)2 to give quaternary salts. The thebaine quaternary salt with 4-phenyl-1,2,4-triazoline-3,5-dione gave an adduct which after treatment with base gave II (R = Me).

IT 51730-04-2P 51730-05-3P 51730-10-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 51730-04-2 CAPLUS

CN 1,2,4-Triazolidine-3,5-dione, 1-[(5α)-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-6-oxomorphinan-14-yl]-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

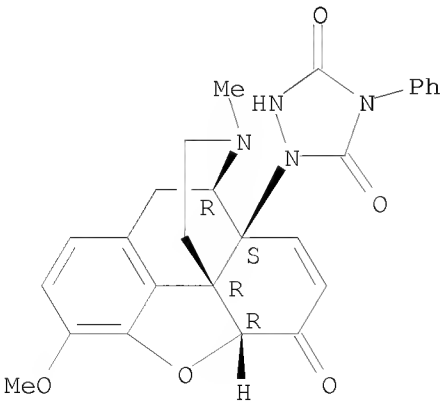


● HCl

RN 51730-05-3 CAPLUS

CN 1,2,4-Triazolidine-3,5-dione, 1-[(5α)-7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-6-oxomorphinan-14-yl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



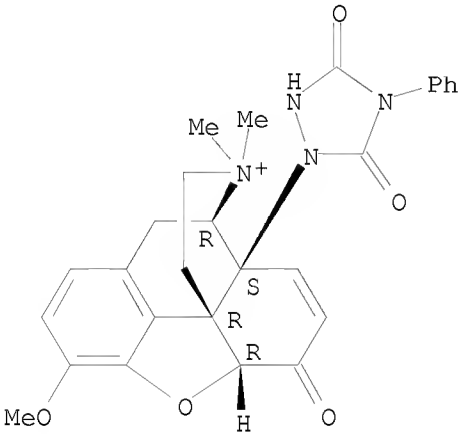
RN 51730-10-0 CAPLUS

CN Morphinanium, 7,8-didehydro-14-(3,5-dioxo-4-phenyl-1,2,4-triazolidin-1-yl)-4,5-epoxy-3-methoxy-17,17-dimethyl-6-oxo-, (5α)-, salt with (hydroxymethoxymethylene)propanedinitrile (1:1) (9CI) (CA INDEX NAME)

CM 1

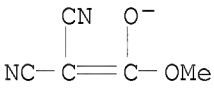
CRN 51730-09-7
CMF C27 H27 N4 O5

Absolute stereochemistry.



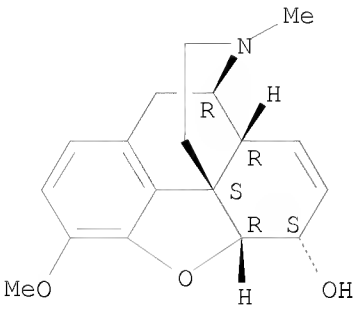
CM 2

CRN 51666-43-4
CMF C5 H3 N2 O2



IT 76-57-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization of, by tetracyanoethylene)
RN 76-57-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-, (5α,6α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

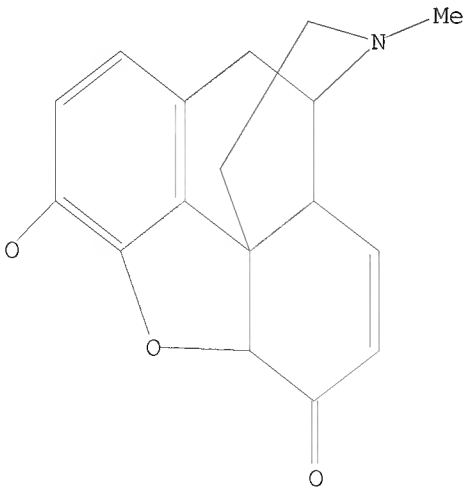
=> d his

(FILE 'HOME' ENTERED AT 15:08:55 ON 15 NOV 2010)

FILE 'REGISTRY' ENTERED AT 15:09:13 ON 15 NOV 2010
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 29 S L1
L4 435 S L1 FULL
L5 50 S L2
L6 1962 S L2 FULL

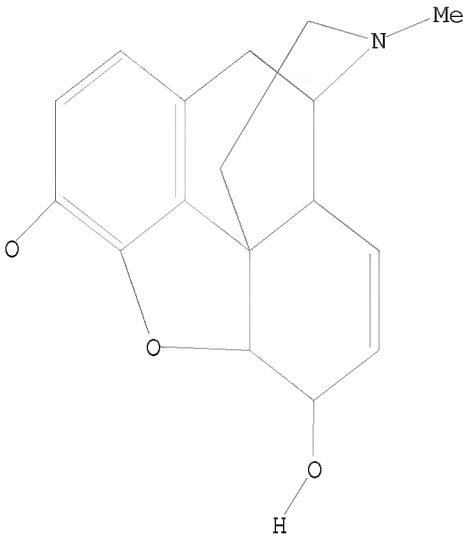
FILE 'CAPLUS' ENTERED AT 15:11:11 ON 15 NOV 2010
L7 287 S L4/PREP
L8 924 S L6/RCT
L9 57 S L7 AND L8
L10 176615 S SULPHUR OR CHLORINE
L11 1 S L9 AND L10

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> d l2
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=>